INVENTOR SEAPCH

=> fil capl

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FILE COVERS 1907 - 1 Feb 2008 VOL 148 ISS 6 FILE LAST UPDATED: 31 Jan 2008 (20080131/ED)

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'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

=> => d que nos 141

1.3

39 SEA FILE-REGISTRY ABB-ON (116046-53-8/BI OR 128095-14-7/BI OR 1583-88-6/BI OR 1655-07-8/BI OR 21615-34-9/BI OR 22396-141-1/BI OR 404-70-6/BI OR 51756-10-6/BI OR 52721-69-4/BI OR 5538-51-2/B I OR 607-97-6/BI OR 609-14-3/BI OR 611-10-9/BI OR 64-04-0/BI OR 780771-33-9/BI OR 780771-36-0/BI OR 780771-37-1/BI OR 780771-38-2/BI OR 780771-39-3/BI OR 780771-40-6/BI OR 780771-40-6/BI OR 780771-49-8/BI OR 780771-49-8/BI OR 780771-49-8/BI OR 780771-49-9/BI OR 780771-49-5/BI OR 780771-49-5/BI OR 780771-49-5/BI OR 780771-49-5/BI OR 780771-50-8/BI OR 780771-59-6/BI OR 780771-55-0/BI OR 780771-55-6/BI OR 780771-55-6/BI OR 780771-55-6/BI OR 780771-55-8/BI OR 780771-55-8/BI OR 78071-55-8-8/BI OR 78071-55-8/BI OR 78071-55-8

L41 1 SEA FILE=CAPLUS ABB=ON L40 AND L38

=> fil casre; d que nos 129 FILE 'CASREACT' ENTERED AT 14:34:13 ON 01 FEB 2008 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE CONTENT: 1840 - 26 Jan 2008 VOL 148 ISS 5

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*************************
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provided by InfoChem, INPI data prior to 1986, and Biotransformations
database compiled under the direction of Professor Dr. Klaus Kieslich.
This file contains CAS Registry Numbers for easy and accurate substance
identification.
1.1
                STR
L2
       448706 SEA FILE=REGISTRY SSS FUL L1
T. 4
         46492 SEA FILE=REGISTRY ABB=ON L2 AND CASREACT/LC
         10919 SEA FILE=CASREACT ABB=ON L4
L5
L8
               STR
         1257 SEA FILE=CASREACT SUB=L5 SSS FUL L8 ( 11881 REACTIONS)
L11
           29) SEA FILE=CASREACT ABB=ON SHCHERBAKOVA I?/AU
L21 (
L22 (
             0) SEA FILE=CASREACT ABB=ON BALANDRIA M?/AU
L22 (
L23 (
L24 (
L25 (
L26 (
         0)SEA FILE=CASREACT ABB=ON BALANDRIA M
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5)SEA FILE=CASPEACT ABB=ON GEOFFOY O2
             5) SEA FILE=CASREACT ABB=ON GEOFFROY O?/AU
         117)SEA FILE=CASREACT ABB=ON FOX J?/AU
           51) SEA FILE=CASREACT ABB=ON NAIR S?/AU
L27 (
            7) SEA FILE=CASREACT ABB=ON BALANDRIN M?/AU
L28
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               (L24 AND (L25 OR L26 OR L27)) OR (L25 AND (L26 OR L27)) OR
               (L26 AND L27)
L29
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PROCESSING COMPLETED FOR L41
PROCESSING COMPLETED FOR L29
1.42
              4 DUP REM L41 L29 (1 DUPLICATE REMOVED)
                ANSWER '1' FROM FILE CAPLUS
                ANSWERS '2-4' FROM FILE CASREACT
=> d ibib abs hitstr 1; d iall 2-4
L42 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2004:902339 CAPLUS Full-text
DOCUMENT NUMBER:
                        141:379934
TITLE:
                        Preparation of 2,3,5,6-tetrasubstituted
                        3H-pyrimidin-4-ones via cyclization of carboxamides.
                       Shcherbakova, Irina; Balandrin, Manuel; Huang,
INVENTOR(S):
```

Guangfei; Geoffroy, Otto; Fox, John; Nair, Satheesh K.
NPS Pharmaceuticals, Inc., USA
PCT Int. Appl., 33 pp.

CODEN: PIXXD2 Patent

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

DATE APPLICATION NO. DATE PATENT NO. KIND 20041028 WO 2004-US10639 WO 2004092121 A2 20040407 A3 20050414 WO 2004092121 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG A2 20060111 EP 2004-749815 20040407 EP 1613606 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR т JP 2006-509759 JP 2006522160 20060928 20040407 US 2007161792 US 2006-551920 20061120 <--A1 20070712 PRIORITY APPLN. INFO.: US 2003-460859P P 20030407 US 2003-479323P P 20030618 WO 2004-US10639 W 20040407 OTHER SOURCE(S): CASREACT 141:379934; MARPAT 141:379934

AB The title process is claimed. Thus, 3-(2-acetoxybenzoylamino)-2-methylbut-2enoic acid phenethylamide (preparation given) was refluxed overnight with KOH in EtOH/H2O to give 37% 2-(2-hydroxyphenyl)-5,6-dimethyl-3-phenethyl-3Hpyrimidin-4-one.

780771-35-9P 780771-40-6P 780771-41-7P 780771-42-8P 780771-43-9P 780771-44-0P

780771-45-1P 780771-46-2P 780771-47-3P 780771-48-4P 780771-51-9P 780771-52-0P

780771-54-2P 780771-55-3P 780771-56-4P

780771-57-5P 780771-58-6P 916335-88-1P RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

(Preparation) (preparation of tetrasubstituted pyrimidinones via cyclization of carboxamides)

RN 780771-35-9 CAPLUS

4(3H)-Pyrimidinone, 2-(2-hydroxyphenyl)-5,6-dimethyl-3-(2-phenylethyl)-CN (CA INDEX NAME)

RN

CN 4(3H)-Pyrimidinone, 3-[2-(2-fluoropheny1)ethy1]-2-(2-hydroxypheny1)-5,6dimethyl- (CA INDEX NAME)

- RN 780771-41-7 CAPLUS
- CN 4(3H)-Pyrimidinone, 3-[2-(3-fluoropheny1)ethyl]-2-(2-hydroxypheny1)-5,6dimethyl- (CA INDEX NAME)

- RN 780771-42-8 CAPLUS
- CN 4(3H)-Pyrimidinone, 3-[2-(4-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-5,6dimethyl- (CA INDEX NAME)

- RN 780771-43-9 CAPLUS
- CN 4(3H)-Pyrimidinone, 5-ethyl-2-(2-hydroxyphenyl)-6-methyl-3-(2-phenylethyl)-(CA INDEX NAME)

RN 780771-44-0 CAPLUS

CN 4(3H)-Pyrimidinone, 5-ethyl-3-[2-(2-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6-methyl- (CA INDEX NAME)

RN 780771-45-1 CAPLUS

CN 4(3H)-Pyrimidinone, 5-ethyl-3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6-methyl- (CA INDEX NAME)

RN 780771-46-2 CAPLUS

CN 4(3H)-Pyrimidinone, 5-ethyl-3-[2-(4-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6-methyl- (CA INDEX NAME)

CN 4(3H)-Pyrimidinone, 3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6methyl-5-propyl- (CA INDEX NAME)

RN 780771-48-4 CAPLUS

CN 4(3H)-Pyrimidinone, 3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6methyl-5-(1-methylethyl)- (CA INDEX NAME)

- RN 780771-51-9 CAPLUS
- CN 4(3H)-Pyrimidinone, 3-[2-(3-fluorophenyl)ethyl]-2-(2-methoxyphenyl)-6methyl-5-(1-methylethyl)- (CA INDEX NAME)

- RN 780771-52-0 CAPLUS
- CN 4(3H)-Pyrimidinone, 3-[2-(2-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6methyl-5-(1-methylethyl)- (CA INDEX NAME)

- RN 780771-54-2 CAPLUS
- CN 4(3H)-Quinazolinone, 5,6,7,8-tetrahydro-2-(2-hydroxyphenyl)-3-(2-phenylethyl)- (CA INDEX NAME)

- RN 780771-55-3 CAPLUS
- CN 4(3H)-Quinazolinone, 3-[2-(3-fluorophenyl)ethyl]-5,6,7,8-tetrahydro-2-(2-hydroxyphenyl)- (CA INDEX NAME)

- RN 780771-56-4 CAPLUS
- CN 4(3H)-Pyrimidinone, 5-cyclopropy1-3-[2-(3-fluorophenyl)ethyl]-2-(2hydroxyphenyl)-6-methyl- (CA INDEX NAME)

- RN 780771-57-5 CAPLUS
- CN 4H-Cyclopentapyrimidin-4-one, 3,5,6,7-tetrahydro-2-(2-hydroxyphenyl)-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)

- RN 780771-58-6 CAPLUS
- CN 4H-Cyclopentapyrimidin-4-one, 3-[2-(3-fluorophenyl)ethyl]-3,5,6,7tetrahydro-2-(2-hydroxyphenyl)- (9CI) (CA INDEX NAME)

- RN 916335-88-1 CAPLUS
- CN 4(3H)-Pyrimidinone, 2-(2-hydroxypheny1)-6-methy1-3-(2-phenylethy1)-5-(trifluoromethy1)- (CA INDEX NAME)

- IT 64-04-0, Phenethylamine 404-70-6, 3-Fluorophenethylamine 607-97-6, Ethyl 2-ethyl-3-oxobutyrate 609-14-3, Ethyl 2-methyl-3-oxobutyrate 611-10-9, Ethyl 2-oxocyclopentanecarboxylate 158-88-6, 4-Fluorophenethylamine 1655-07-8, Ethyl 2-oxocyclohexanecarboxylate 5538-51-2 21615-34-9 22396-14-1 51756-10-6
 52721-69-4, 2-Fluorophenethylamine 116046-53-8
 - RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of tetrasubstituted pyrimidinones via cyclization of carboxamides)
 - RN 64-04-0 CAPLUS
 - CN Benzeneethanamine (CA INDEX NAME)

- RN 404-70-6 CAPLUS
- CN Benzeneethanamine, 3-fluoro- (CA INDEX NAME)

- RN 607-97-6 CAPLUS
- CN Butanoic acid, 2-ethyl-3-oxo-, ethyl ester (CA INDEX NAME)

RN 609-14-3 CAPLUS

CN Butanoic acid, 2-methyl-3-oxo-, ethyl ester (CA INDEX NAME)

RN 611-10-9 CAPLUS

CN Cyclopentanecarboxylic acid, 2-oxo-, ethyl ester (CA INDEX NAME)

RN 1583-88-6 CAPLUS

CN Benzeneethanamine, 4-fluoro- (CA INDEX NAME)

RN 1655-07-8 CAPLUS

CN Cyclohexanecarboxylic acid, 2-oxo-, ethyl ester (CA INDEX NAME)

RN 5538-51-2 CAPLUS

CN Benzoyl chloride, 2-(acetyloxy)- (CA INDEX NAME)

RN 21615-34-9 CAPLUS

CN Benzoyl chloride, 2-methoxy- (CA INDEX NAME)

RN 22396-14-1 CAPLUS

CN Cyclopropaneacetic acid, α -acetyl-, ethyl ester (CA INDEX NAME)

RN 51756-10-6 CAPLUS

CN Butanoic acid, 2-acetyl-3-methyl-, methyl ester (CA INDEX NAME)

RN 52721-69-4 CAPLUS

CN Benzeneethanamine, 2-fluoro- (CA INDEX NAME)

RN 116046-53-8 CAPLUS

CN Butanoic acid, 3-oxo-2-(trifluoromethyl)-, ethyl ester (CA INDEX NAME)

IT 85796-29-8P 128095-14-7P 780771-36-0P

780771-37-1P 780771-38-2P 780771-39-3P

7807771-49-5P, 3-Amino-2-isopropylbut-3-enoic acid methyl ester

780771-50-8P, 2-Isopropyl-3-(2-methoxybenzoylamino)but-3-enoic acid methyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tetrasubstituted pyrimidinones via cyclization of carboxamides)

RN 85796-29-8 CAPLUS

CN 1,3-Dioxolane-2-acetic acid, α ,2-dimethyl- (CA INDEX NAME)

RN 128095-14-7 CAPLUS

CN 1,3-Dioxolane-2-acetic acid, α ,2-dimethyl-, methyl ester (CA INDEX NAME)

RN 780771-36-0 CAPLUS

CN 1,3-Dioxolane-2-acetamide, α ,2-dimethyl-N-(2-phenylethyl)- (CA INDEX NAME)

RN 780771-37-1 CAPLUS

CN Butanamide, 2-methyl-3-oxo-N-(2-phenylethyl)- (CA INDEX NAME)

- RN 780771-38-2 CAPLUS
- CN 2-Butenamide, 3-amino-2-methyl-N-(2-phenylethyl)- (CA INDEX NAME)

- RN 780771-39-3 CAPLUS
- CN Benzamide, 2-(acetyloxy)-N-[1,2-dimethyl-3-oxo-3-[(2-phenylethyl)amino]-1-propenyl]- (9CI) (CA INDEX NAME)

- RN 780771-49-5 CAPLUS
- CN 3-Butenoic acid, 3-amino-2-(1-methylethyl)-, methyl ester (CA INDEX NAME)

- RN 780771-50-8 CAPLUS
- CN 3-Butenoic acid, 3-[(2-methoxybenzoyl)amino]-2-(1-methylethyl)-, methyl ester (CA INDEX NAME)

L42 ANSWER 2 OF 4 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: TITLE:

AUTHOR(S):

REACT COPYRIGHT 2008 ACS on STN
143:59927 CASREACT Full-text
Design, new synthesis, and calcilytic activity of
substituted 3H-pyrimidin-4-ones

Shcherbakova, Irina; Huang, Guangfel; Geoffroy, Otto J.; Mair, Satheesh

K.; Swierczek, Krzysztof; Balandrin, Manuel

F.; Fox, John; Heaton, William L.;

Conklin, Rebecca L.

CORPORATE SOURCE: Drug Discovery, NPS Pharmaceuticals, Inc., Salt Lake

City, UT, 84108, USA

Bioorganic & Medicinal Chemistry Letters (2005), 15(10), 2537-2540

CODEN: BMCLE8; ISSN: 0960-894X

Elsevier B.V.

PUBLISHER: DOCUMENT TYPE: Journal

LANGUAGE: English

CLASSIFICATION: 28-16 (Heterocyclic Compounds (More Than One Hetero

Atom))

Section cross-reference(s): 1 GRAPHIC IMAGE:

ABSTRACT:

SOURCE:

Design, synthesis, structure-activity relationship studies and calcium receptor antagonist (calcilytic) properties of 3H-pyrimidin-4-ones, e.g., I, are described. The pyrimidinones were synthesized by multistep procedures.

SUPPL. TERM: keto ester amidine heterocyclization; pyrimidinone prepn

calcilvtic

INDEX TERM: Amines, reactions

ROLE: RCT (Reactant); RACT (Reactant or reagent) (aralkyl; preparation, calcilytic activity, and structure-activity relationship of substituted pyrimidinones starting from hydroxybenzonitrile or

β-keto esters and phenylethylamines using multistep

procedures)

INDEX TERM: Receptors

ROLE: BSU (Biological study, unclassified); BIOL (Biological

study)

(calcium; preparation, calcilytic activity, and structure-activity relationship of substituted pyrimidinones starting from hydroxybenzonitrile or β-keto esters and phenylethylamines using multistep

procedures)

INDEX TERM: Carboxylic acids, reactions

ROLE: RCT (Reactant); RACT (Reactant or reagent) (oxo, esters; preparation, calcilytic activity, and

structure-activity relationship of substituted pyrimidinones starting from hydroxybenzonitrile or B-keto esters and phenylethylamines using multistep

procedures)

INDEX TERM: Heterocyclization

> (preparation, calcilytic activity, and structure-activity relationship of substituted pyrimidinones starting from

```
hydroxybenzonitrile or β-keto esters and
                     phenylethylamines using multistep procedures)
INDEX TERM:
                  Structure-activity relationship
                     (receptor-binding, CaR; preparation, calcilytic activity, and
                     structure-activity relationship of substituted
                     pyrimidinones starting from hydroxybenzonitrile or
                     β-keto esters and phenylethylamines using multistep
                     procedures)
INDEX TERM:
                  780771-32-6P
                                 780771-33-7P
                                               780771-34-8P
                                                              780771-35-9P
                  780771-41-7P
                                780771-43-9P
                                               780771-44-0P
                                                              780771-47-3P
                  780771-48-4P
                                780771-53-1P
                                                              780771-55-3P
                                              780771-54-2P
                  780771-56-4P 780771-57-5P
                                              780771-58-6P
                  ROLE: PAC (Pharmacological activity); PRP (Properties); SPN
                  (Synthetic preparation); BIOL (Biological study); PREP
                  (Preparation)
                     (preparation, calcilytic activity, and structure-activity
                     relationship of substituted pyrimidinones starting from
                     hydroxybenzonitrile or B-keto esters and
                     phenylethylamines using multistep procedures)
INDEX TERM:
                  64-04-0, 2-Phenylethylamine 105-45-3, Methyl acetoacetate
                  344-00-3
                             404-70-6, 2-(3-Fluorophenyl)ethylamine 607-97-6
                  609-14-3
                             611-10-9 611-20-1, 2-Hydroxybenzonitrile
                  1522-46-9
                             1540-28-9
                                        1655-07-8
                                                    5538-51-2,
                  2-Acetoxybenzoyl chloride
                                            22396-14-1 52721-69-4.
                  2-(2-Fluorophenyl)ethylamine
                  ROLE: RCT (Reactant); RACT (Reactant or reagent)
                     (preparation, calcilytic activity, and structure-activity
                     relationship of substituted pyrimidinones starting from
                     hydroxybenzonitrile or B-keto esters and
                     phenylethylamines using multistep procedures)
INDEX TERM:
                  4746-93-4P
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                                                        23153-73-3P
                  26384-76-9P
                               27773-09-7P
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                                            130625-27-3P
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                                                              854133-51-0P
                  ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP
                  (Preparation); RACT (Reactant or reagent)
                     (preparation, calcilytic activity, and structure-activity
                     relationship of substituted pyrimidinones starting from
                     hydroxybenzonitrile or B-keto esters and
                     phenylethylamines using multistep procedures)
                        THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                  30
                        RECORD.
                  (1) Altmann, E; WO 2004/056365 A2 CAPLUS
REFERENCE(S):
                  (2) Balmforth, A; Br J Pharmacol 1994, V112, P277 MEDLINE
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                   (19) Shcherbakova, I; WO 2004/092120 A2 CAPLUS
                   (20) Shcherbakova, I; WO 2004/092121 A2 CAPLUS
                   (21) Shcherbakova, I; 2004 CAPLUS
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=> d ibib abs ind 3-4
L42 ANSWER 3 OF 4 CASREACT COPYRIGHT 2008 ACS on STN
                        142:348143 CASREACT Full-text
ACCESSION NUMBER:
TITLE:
                         3H-Ouinazolin-4-ones as a new calcilytic template for
                        the potential treatment of osteoporosis
AUTHOR(S):
                        Shcherbakova, Irina; Balandrin, Manuel
                         F.; Fox, John; Ghatak, Anjan; Heaton,
                         William L.; Conklin, Rebecca L.
CORPORATE SOURCE:
                        Drug Discovery, NPS Pharmaceuticals, Inc., Salt Lake
                        City, UT, 84108, USA
                        Bioorganic & Medicinal Chemistry Letters (2005),
SOURCE:
                        15(6), 1557-1560
                        CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER:
                        Elsevier B.V.
DOCUMENT TYPE:
                        Journal
LANGUAGE:
                        English
```

AB Structure-activity relationship studies, focused on identification of the active pharmacophore fragments in a single high-throughput screening calcilytic hit, resulted in the discovery of potent calcium receptor antagonists, substituted 3H-quinazolin-4-ones.

CC 1-3 (Pharmacology)

Section cross-reference(s): 28 ST quinazolinone deriv prepn structure osteoporosis

IT Bone resorption inhibitors Osteoporosis

Structure-activity relationship

(3H-quinazolin-4-ones preparation and structure-related potential for

```
osteoporosis treatment)
```

IT Receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(calcium; 3H-quinazolin-4-ones preparation and structure-related potential

for osteoporosis treatment)

IT 7440-70-2, Calcium, biological studies 9002-64-6, Parathyroid hormone

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(3H-quinazolin-4-ones preparation and structure-related potential for
osteoporosis treatment)

IT 691378-86-6P 849233-11-0P 849233-12-1P

RL: BYP (Byproduct); PREP (Preparation)

(3H-quinazolin-4-ones preparation and structure-related potential for osteoporosis treatment)

T 312277-73-9P 450378-70-8P 489416-64-0P 691378-17-3P 691378-21-9P 691378-24-2P 691378-25-3P 691378-26-4P 691378-28-6P 691378-34-4P 691378-45-7P 691378-46-PP 691378-47-9P 691378-49-1P 691378-50-4P 691378-53-7P 691378-49-8P 691378-65-1P 691378-94-6P 849233-10-9P RI: PRC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological Study); PREP (Preparation); USES (Uses)

(3H-quinazolin-4-ones preparation and structure-related potential for osteoporosis treatment)

IT 328540-74-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(3H-quinazolin-4-ones preparation and structure-related potential for osteoporosis treatment)

IT 64-04-0, Benzeneethanamine 89-77-0 98-88-4, Benzoyl chloride 100-07-2 118-92-3 393-52-2 404-70-6 434-76-4 446-08-2 446-32-2 635-21-2 825-22-9 1711-05-3 1711-07-5 2941-78-8 4389-45-1 4389-50-8 5538-51-2 13078-79-0 16446-73-4 21615-34-9 27914-73-4 37785-02-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(3H-quinazolin-4-ones preparation and structure-related potential for osteoporosis treatment)

IT 1022-46-4P 18595-84-IP 18600-55-0P 35673-24-6P 60681-96-IP 117979-60-PP 213340-78-4P 298682-51-6P 306750-40-3P 311775-86-7P 331973-01-4P 691379-08-5P 691379-09-6P 691379-10-9P 691379-11-0P 691379-12-IP 691379-13-2P 691379-21-2P 691379-22-3P 861891-42-IP RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(3H-quinazolin-4-ones preparation and structure-related potential for osteoporosis treatment)

REFERENCE COUNT:

16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 4 OF 4 CASREACT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 141:366249 CASREACT Full-text

TITLE: Preparation of pyrimidinone compounds as calcilytics

INVENTOR(S): Shcherbakova, Irina V.; Balandrin,
Manuel F.; Huang, Guangfei;

Geoffroy, Otto; Fox, John; Marquis, Robert; Yamashita, Dennis Shinji; Luengo, Juan; Wang,

Wenyong
PATENT ASSIGNEE(S): NPS Pharmaceuticals, Inc., USA; Glaxosmithkline

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

	PATENT NO.		KIND DATE			APPLICATION NO.					DATE								
				A2 20041028			WO 2004-US10638				20040407								
	WO	2004	0921	20	A	3	2005	0414											
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	ВG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
			LK.	LR,	LS.	LT.	LU,	LV,	MA,	MD.	MG,	MK,	MN.	MW.	MX.	MZ,	NA,	NI,	
			NO.	NZ.	OM.	PG.	PH.	PL.	PT.	RO.	RII.	SC.	SD.	SE.	SG,	SK.	SL.	SY.	
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OTHER	S	DURCE	(S):			MAR	PAT	141:	3662	49									

D1 || D4

GI

- R1 N R4
- AB Title compds. I [R1-2 = H, halo, CN, CF3, etc.; R3 = aryl; R4 = H, alkyl, etc.] are prepared For instance, 2-(2-Hydroxyphenyl)-6-methyl-3-phenethyl-3H-pyrimidin-4-one is prepared from o-hydroxybenzonitrile, acetyl chloride and Me acetoacetate. Compds. of the invention have IC50 values < 30 µM in a calcium receptor inhibition assay. I are useful for the treatment of abnormal bone or mineral homeostasis.
- IC ICM C07D
- CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom)) Section cross-reference(s): 1, 63
- ST pyrimidinone calcilytic calcium receptor antagonist prepn
- IT Bone, disease
 (Paget's; preparation of pyrimidinone compds. as calcilytics)
 - (bone or mineral disorders; preparation of pyrimidinone compds. as calcilytics)
- IT Receptors

Homeostasis

IT

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(calcium; preparation of pyrimidinone compds. as calcilytics) Bone, neoplasm Sarcoma (osteosarcoma; preparation of pyrimidinone compds. as calcilytics) Antirheumatic agents Human Osteoarthritis Osteoporosis Periodontium, disease Rheumatoid arthritis Wound healing (preparation of pyrimidinone compds, as calcilytics) 7440-70-2, Calcium, biological studies RL: BSU (Biological study, unclassified); BIOL (Biological study) (hypercalcemia; preparation of pyrimidinone compds. as calcilytics) 9002-64-6. Parathyroid hormone RL: BSU (Biological study, unclassified); BIOL (Biological study) (preparation of pyrimidinone compds. as calcilytics) 780771-43-9P, 5-Ethyl-2-(2-hydroxyphenyl)-6-methyl-3-phenethyl-3Hpyrimidin-4-one 780771-51-9P, 3-[2-(3-Fluorophenyl)ethyl]-5-isopropyl-2-(2-methoxyphenyl)-6-methyl-3H-pyrimidin-4-one RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of pyrimidinone compds. as calcilytics) 780771-32-6P, 2-(2-Hydroxyphenyl)-6-methyl-3-phenethyl-3H-pyrimidin-4-one 780771-33-7P, 3-[2-(2-Fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6-methyl-3H-780771-34-8P, 3-[2-(3-Fluorophenyl)ethyl]-2-(2pvrimidin-4-one hydroxyphenyl)-6-methyl-3H-pyrimidin-4-one 780771-35-9P. 2-(2-Hydroxyphenyl)-5,6-dimethyl-3-phenethyl-3H-pyrimidin-4-one 780771-40-6P, 3-[2-(2-Fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-5,6-dimethyl-3H-pyrimidin-4-one 780771-41-7P, 3-[2-(3-Fluorophenyl)ethyl]-2-(2hydroxyphenyl)-5,6-dimethyl-3H-pyrimidin-4-one 780771-42-8P, 3-[2-(4-Fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-5,6-dimethyl-3H-pyrimidin-780771-44-0P, 5-Ethyl-3-[2-(2-fluorophenyl)ethyl]-2-(2hydroxyphenyl)-6-methyl-3H-pyrimidin-4-one 780771-45-1P 780771-46-2P. 5-Ethv1-3-[2-(4-fluorophenv1)ethv1]-2-(2-hvdroxvphenv1)-6-methv1-3Hpyrimidin-4-one 780771-47-3P, 3-[2-(3-Fluorophenyl)ethyl]-2-(2hydroxyphenyl)-6-methyl-5-propyl-3H-pyrimidin-4-one 780771-48-4P, 3-[2-(3-Fluoropheny1)ethy1]-2-(2-hydroxypheny1)-5-isopropy1-6-methy1-3Hpvrimidin-4-one 780771-52-0P, 3-[2-(2-Fluorophenyl)ethyl]-2-(2hydroxyphenyl)-5-isopropyl-6-methyl-3H-pyrimidin-4-one 780771-53-1P, 2-(2-Hydroxyphenyl)-5-methyl-3-phenethyl-6-trifluoromethyl-3H-pyrimidin-4-780771-54-2P, 2-(2-Hydroxyphenyl)-3-phenethyl-5,6,7,8-tetrahydro-3Hquinazolin-4-one 780771-55-3P, 3-[2-(3-Fluorophenyl)ethyl]-2-(2hydroxyphenyl)-5,6,7,8-tetrahydro-3H-quinazolin-4-one 780771-56-4P, 5-Cyclopropy1-3-[2-(3-fluoropheny1)ethy1]-2-(2-hydroxypheny1)-6-methy1-3Hpvrimidin-4-one 780771-57-5P, 2-(2-Hydroxyphenyl)-3-phenethyl-3,5,6,7tetrahydrocyclopenta[1,2-d]pyrimidin-4-one 780771-58-6P, 3-[2-(3-Fluorophenv1)ethv1]-2-(2-hvdroxyphenv1)-3,5,6,7tetrahydrocyclopenta[1,2-d]pyrimidin-4-one 780771-59-7P, 5-Ethyl-2-(2-methoxyphenyl)-6-methyl-3-phenethyl-3H-pyrimidin-4-one 780771-60-0P, 2-(5-Chloro-2-hydroxypyridin-3-yl)-5-ethyl-3-[2-(3fluorophenyl)ethyl]-6-methyl-3H-pyrimidin-4-one 780771-62-2P, 5-Ethyl-2-(3-fluoro-2-hydroxyphenyl)-3-[2-(3-fluorophenyl)ethyl]-6-methyl-3H-pyrimidin-4-one 780771-64-4P, 5-Ethyl-2-(5-fluoro-2-hydroxyphenyl)-3-[2-(3-fluorophenv1)ethv1]-6-methv1-3H-pvrimidin-4-one 780771-65-5P, 5-Ethyl-2-(2-fluoro-6-hydroxyphenyl)-3-[2-(3-fluorophenyl)ethyl]-6-methyl-3H-pvrimidin-4-one 780771-67-7P, 2-(5-Chloro-2-hvdroxyphenvl)-5-ethyl-3-

[2-(3-fluoropheny1)ethy1]-6-methy1-3H-pyrimidin-4-one 780771-68-8P,

2-(5-Bromo-2-hydroxyphenyl)-5-ethyl-3-[2-(3-fluorophenyl)ethyl]-6-methyl-3H-pyrimidin-4-one 780771-69-9P, 5-Ethyl-3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxy-3-isopropylphenyl)-6-methyl-3H-pyrimidin-4-one 780771-71-3P, 2-(3,5-Dibromo-2-hydroxyphenyl)-5-ethyl-3-[2-(3-fluorophenyl)ethyl]-6-methyl-3H-pyrimidin-4-one 780771-72-4P, 5-Ethyl-2-(3-chloro-2-hydroxyphenyl)-3-[2-(3-fluorophenyl)ethyl]-6-methyl-3H-pyrimidin-4-one 780771-72-4P, 2-(2-hydroxy-3-methylphenyl)-3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxy-3-methylphenyl)-6-methyl-3H-pyrimidin-4-one 780771-79-7P, 2-(4-Chloro-2-hydroxyphenyl)-5-ethyl-3-[2-(3-fluorophenyl)ethyl]-6-methyl-3H-pyrimidin-4-one 780771-76-8P, 5-Ethyl-3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxy-4-methoxyphenyl)-6-methyl-3H-pyrimidin-4-one 780771-76-8P, 5-Ethyl-3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxy-4-methoxyphenyl)-6-methyl-3H-pyrimidin-4-one 780771-76-8P, 5-Ethyl-3-[2-(7-fluorophenyl)ethyl]-2-(7-hydroxy-4-methoxyphenyl)-6-methyl-3H-pyrimidin-4-one 780771-76-8P, 5-Ethyl-3-[2-(7-fluorophenyl)ethyl]-2-(7-hydroxy-4-methoxyphenyl)-6-methyl-3H-pyrimidin-4-one 780771-76-8P, 5-Ethyl-3-[2-(7-fluorophenyl)ethyl]-2-(7-hydroxy-4-methoxyphenyl)-6-methyl-3H-pyrimidin-4-one 780771-76-8P, 5-Ethyl-3-[2-(7-fluorophenyl)ethyl]-2-(7-hydroxy-4-methoxyphenyl)-6-methyl-3H-pyrimidin-4-one 780771-76-8P, 5-Ethyl-3-[2-(7-fluorophenyl)ethyl]-2-(7-hydroxy-4-methoxyphenyl)-6-methyl-3H-pyrimidin-4-one 780771-76-8P, 5-Ethyl-3-[2-(7-fluorophenyl)ethyl]-6-methyl-3H-pyrimidin-4-one 780771-76-8P

(preparation of pyrimidinone compds. as calcilytics) 75-36-5, Acetyl chloride 100-58-3, 64-04-0, Phenethylamine Phenylmagnesium bromide 105-45-3, Methyl acetoacetate 404-70-6, 2-(3-Fluorophenyl)ethylamine 607-97-6, 2-Ethyl-3-oxobutanoic acid ethyl ester 609-14-3, 2-Methyl-3-oxobutyric acid ethyl ester 611-10-9, 2-Oxocyclopentanecarboxylic acid ethyl ester 611-20-1, o-Hydroxybenzonitrile 1522-46-9, 2-Isopropyl-3-oxobutanoic acid ethyl ester 1540-28-9, 2-Propyl-3-oxobutanoic acid ethyl ester 1583-88-6, 4-Fluorophenethylamine 1655-07-8, 2-Oxocyclohexanecarboxylic acid ethyl ester 5485-91-6, Acetic acid 4-bromo-2-chlorocarbonylphenyl ester 5538-51-2, Acetic acid 2-chlorocarbonylphenyl ester 5538-52-3, Acetic acid 2-chlorocarbonyl-4-fluorophenyl ester 5538-53-4, Acetic acid 4-chloro-2-chlorocarbonylphenyl ester 17094-21-2, 2-Methyl-3-oxobutanoic acid methyl ester 19202-27-8, Acetic acid 2-chlorocarbonylmethoxyphenyl 21615-34-9 22396-14-1, 2-Cyclopropyl-3-oxobutanoic acid ethyl ester 26384-76-9 27893-05-6, Acetic acid 2-chlorocarbonvl-6methylphenyl ester 52721-69-4, 2-(2-Fluorophenyl)ethylamine 54551-50-7. Acetic acid 5-chloro-2-chlorocarbonylphenyl ester 116046-53-8, 2-Trifluoromethyl-3-oxobutanoic acid ethyl ester 780771-61-1, 2-Acetoxy-5-chloronicotinoyl chloride 780771-63-3, Acetic acid 2-chlorocarbonyl-6-fluorophenyl ester 780771-66-6, Acetic acid 2-chlorocarbonyl-3-fluorophenyl ester 780771-70-2, Acetic acid 2-chlorocarbonvl-6-isopropylphenvl ester 780771-73-5 RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrimidinone compds. as calcilytics) 27773-09-7P, 2-(2-Methyl-11,3)dioxolan-2-yl)propionic acid ethyl ester 61636-46-2P 85796-29-8P, 2-(2-Methyl-[1,3]dioxolan-2-yl)propionic acid 780771-36-0P, 2-(2-Methyl-[1,3]dioxolan-2-yl)propionic acid 780771-37-1P, 2-Methyl-3-oxo-N-phenethylbutyramide 780771-38-2P, 3-Amino-2-methylbut-2-enoic acid phenethylamide 780771-39-3P, Acetic acid 2-((1-methyl-2-((phenethyl)carbamoyl)propenyl)carbamoyl)phenyl ester 780771-49-5P, 3-Amino-2-isopropylbut-3-enoic acid methyl ester 780771-50-8P, 2-Isopropyl-3-(2-methoxybenzoylamino)but-3-enoic acid methyl ester RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(preparation of pyrimidinone compds. as calcilytics)

(Reactant or reagent)

STRUCTURE SEARCH

=> fil casre; d stat que 120;s 120 not 129; fil reg; d stat que 136; fil capl; d que nos 137; s 137 not 141
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FILE CONTENT: 1840 - 26 Jan 2008 VOL 148 ISS 5

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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NODE ATTRIBUTES:
NSPEC IS RC AT 8
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 8

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NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

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2	C	RRT		6 C		PRO
3	N	RRT		7 N		PRO
4	0	RRT	1	1 0		PR0
6	C	PRO		2 C		RRT
7	N	PRO		3 N		RRT
11	0	PRO		4 0		RRT
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L11 1257 SEA FILE=CASREACT SUB=L5 SSS FUL L8 (11881 REACTIONS)
L12 1118 SEA FILE=CASREACT ABB=ON L11/COMPLETE

L13 902 SEA FILE=CASREACT ABB=ON L12 AND (PY<2004 OR AY<2004 OR PRY<2004)

L16 STR

VAR G1=14/32/37 NODE ATTRIBUTES: CONNECT IS E2 RC AT 20 CONNECT IS E2 RC AT 29 CONNECT IS E2 RC AT 44

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 40

STEREO ATTRIBUTES: NONE

L19 22 SEA FILE=CASREACT SUB=L11 SSS FUL L16 (56 REACTIONS)

L20 16 SEA FILE=CASREACT ABB=ON L13 AND L19

L43 14 L20 NOT L29

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STRUCTURE FILE UPDATES: 31 JAN 2008 HIGHEST RN 1001228-41-6
DICTIONARY FILE UPDATES: 31 JAN 2008 HIGHEST RN 1001228-41-6

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Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

L1 STR



NODE ATTRIBUTES:
NSPEC IS RC AT 8
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 8 STEREO ATTRIBUTES: NONE

L2 448706 SEA FILE=REGISTRY SSS FUL L1

L33 STR

Page 1-A

Page 2-A
VAR G1=OH/OME
VAR G2=23/24
VAR G3=23/CF3
REP G4+(1-2) C
VAR G5=1/61/30
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 23
CONNECT IS E2 RC AT 65
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY LOC UNS AT 67
DEFAULT ELEVEL IS LIMITED
DEFAULT ELEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 69

STEREO ATTRIBUTES: NONE

82 ANSWERS

1.36 82 SEA FILE-REGISTRY SUB-L2 SSS FUL L33

100.0% PROCESSED 21805 ITERATIONS

SEARCH TIME: 00.00.01

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FILE COVERS 1907 - 1 Feb 2008 VOL 148 ISS 6 FILE LAST UPDATED: 31 Jan 2008 (20080131/ED)

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http://www.cas.org/infopolicy.html 'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

T.1 STR L2 448706 SEA FILE=REGISTRY SSS FUL L1 1.33 82 SEA FILE=REGISTRY SUB=L2 SSS FUL L33 L36 1.37 5 SEA FILE=CAPLUS ABB=ON L36/P

L44 4 L37 NOT L41

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18 DUP REM L43 L44 (0 DUPLICATES REMOVED) L45 ANSWERS '1-14' FROM FILE CASREACT ANSWERS '15-18' FROM FILE CAPLUS

=> d ibib abs fhit 1-14; d ibib abs hitstr 15-18; fil hom

L45 ANSWER 1 OF 18 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 134:86206 CASREACT Full-text

TITLE: The behaviour of some nucleophiles towards

 $2-[\alpha-(benzoylamino)-\beta-(2-$

thienyl)vinyl]benzoxazin-4(3H)-one

AUTHOR(S): Guirguis, Dalal B.

CORPORATE SOURCE: Chemistry Department, Faculty of Science, Ain Shams

University, Cairo, Egypt

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2080

), 39B(4), 264-269

), 39B(4), 264-269 CODEN: IJSBDB: ISSN: 0376-4699

PUBLISHER: National Institute of Science Communication, CSIR

DOCUMENT TYPE: Journal

LANGUAGE: English

AB $2-[\alpha-(Benzoylamino)-\beta-thien-2-ylvinyl]benzoxazin-4(3H)-one (I) undergoes ring-opening on treatment with primary and secondary amines affording <math>2-[\alpha-(benzoylamino)-\beta-thien-2-ylacrylamido]benzamides. Treatment of I with HCONH2 and NZH4.HZO at elevated temperature gives rise to quinazolinones. Interestingly, reaction of vicinal aminobenzyl alcs. with I yields the usual ring-opening products and unexpected 4-iminobenzoxazines.$

RX(9) OF 80 ...F ===> T

RX(9) RCT F 318292-64-7

STAGE(1)

RGT U 75-12-7 Formamide SOL 64-17-5 EtOH

SOL 64-17-5 Et

STAGE(2)

SOL 7732-18-5 Water

PRO T 318292-72-7

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L45 ANSWER 2 OF 18 CASREACT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 138:106647 CASREACT Full-text

TITLE: Quinazolinones derived from N-(1,1-

dimethylacetonyl)benzamide

AUTHOR(S): Usifoh, C. O.

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, University of

Benin, Benin City, Nigeria SOURCE:

Nigerian Journal of Chemical Research (2000), 5, 39-42

CODEN: NJCRBW; ISSN: 1119-0221

Nigerian Journal of Chemical Research PUBLISHER:

DOCUMENT TYPE: Journal

LANGUAGE: English GI

Ring opening of isatoic anhydride with 1,1-dimethyl-2-propynylamine at 45° in AB DMF gave 2-H2NC6H4CONHCMe2R (I, R = C.tplbond.CH), while refluxing isatoic anhydride with 1,1-dimethyl-2-propynylamine at 100° in water afforded I (R = COMe). When I (R = C.tplbond.CH) was refluxed in formic acid-water, I (R = COMe) was also obtained, and on cyclization with triphospene and tri-Et orthoacetate it yielded the quinazolinones II and III, resp.

RX(4) OF 12 ...I + E ===> J

J YTELD 70%

RX(4) RCT I 32315-10-9, E 485322-54-1 RGT K 121-44-8 Et3N

PRO J 485322-55-2 SOL 123-91-1 Dioxane

CON SUBSTAGE(1) 0 deg C

SUBSTAGE(2) 6 hours, reflux

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L45 ANSWER 3 OF 18 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 129:109322 CASREACT Full-text

TITLE: Synthesis of 3-dipeptidy1-2,4(1H,3H)-quinazolinediones

as potential anti-hypertensive agents

AUTHOR(S): Rivero, I. A.; Somanathan, R.; Hellberg, L. H.
CORPORATE SOURCE: Centro de Graduados e Investigación del Instituto

Tecnologico de Tijuana, Tijuana, 22000, Mex.
SOURCE: Synthetic Communications (1990), 28(11),

Synthetic Communication

2077-2086

CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

LANGUAGE:

AB Quinazolinediones I (R = Trp-OMe, Phe-OEt, Pro-OMe, Gly-OEt, DL-Ala-OMe) bearing a dipeptide moiety have been synthesized as potential anti-hypertensive agents (no data given).

RX(1) OF 9 A + B ===> C...

RX(1) RCT A 5973-34-2, B 75-09-2

PRO C 58004-83-4 NTE 2 H, 20.deg.

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L45 ANSWER 4 OF 18 CASREACT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 128:13283 CASREACT Full-text

TITLE: Preparation of dioxoguinazolines

INVENTOR(S): Ueda, Hiroshi; Komatsu, Satoshi; Nishii, Shinji

PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp. Patent

CODEN: JKXXAF

DOCUMENT TYPE:

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09291080	A	19971111	JP 1996-105647	19960425
PRIORITY APPLN. INFO.	:		JP 1996-105647	19960425
OTHER SOURCE(S):	MA	RPAT 128:13283		
C.T.				

Title compds. I [R1, R2 = H, halo, NO2, lower (halo)alkyl, (halo)aralkyl, AB (halo)alkoxy, (halo)alkoxycarbonyl, YNR3R4; R3, R4 = lower alkyl; R3R4 may form ring: Y = bond, lower alkylene, CO; X = lower (halo)alkyl, (halo)aralkyl, ZCO2R5; R5 = lower alkyl, aralkyl; Z = lower alkylene], useful as intermediates for antiinflammatories, drugs for diabetic complications, etc., are prepared by treating anthranilamides II (R1, R2, X = same as I) with COC12. II (R1 = R2 = H, X = CH2CO2Et) was treated with COC12 and NEt3 in THF at 5° for 90 min to give 98% I (R1 = R2 = H, X = CH2CO2Et).

RX(1) OF 1 A + B ===> C

$$\begin{array}{c} \text{H} \\ \text{NH} \\ \text{O} \\ \text{E} \end{array}$$

RCT A 5973-34-2, B 75-44-5 RX(1) RGT D 121-44-8 Et3N PRO C 58004-83-4 SOL 109-99-9 THF

L45 ANSWER 5 OF 18 CASREACT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 115:256211 CASREACT Full-text

TITLE: (1,2,3,4-Tetrahydro-2,4-dioxoquinazolin-3-yl)alkanoate

esters

INVENTOR(S): Suesse, Manfred; Cleve, Dorothee; Johne, Siegfried

PATENT ASSIGNEE(S): Akademie der Wissenschaften der DDR, Germany SOURCE: Ger. (East), 4 pp.

CODEN: GEXXA8

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE DD 291083 A5 19910620 DD 1989-336570 19891228 PRIORITY APPLN. INFO.: DD 1989-336570 19891228 OTHER SOURCE(S): MARPAT 115:256211

GI

$$\mathbb{R}_{n}^{\frac{1}{1}} \underbrace{ \begin{array}{c} \bullet \\ \bullet \\ \bullet \\ \bullet \\ \bullet \end{array}}_{\text{NXCO2R}} \mathbb{I} \qquad \mathbb{R}_{n}^{\frac{1}{1}} \underbrace{ \begin{array}{c} \bullet \\ \bullet \\ \bullet \\ \bullet \\ \bullet \\ \bullet \end{array}}_{\text{NH}_{2}} \mathbb{I}$$

AB Title compds. I (R = alkyl; Rl = alkyl, alkoxy, halo, etc.; X = alkylene; n = 0-4) were prepared from amino carboxylic acids II and orthocarbonate esters. Thus, 1.94 g II (X = CH2, n = 0) was refluxed with 4.1 g tetra-Me orthocarbonate for 4 h to give 1.4 g I (R = Me, X = CH2, n = 0).

RX(1) OF 1 A + B ===> C

RX(1) RCT A 1850-14-2, B 526-21-6 PRO C 82603-69-8

L45 ANSWER 6 OF 18 CASREACT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 109:73469 CASREACT Full-text

ACCESSION NUMBER: 109:73469 CASREACT Full-text
TITLE: Preparation of (1,2,3,4-tetrahydro-4-oxo-2-

INVENTOR(S): Suesse, Manfred; Schaks, Angela; Johne, Siegfried
PATENT ASSIGNEE(S): Akademie der Wissenschaften der DDR, Ger. Dem. Rep.

SOURCE: Ger. (East), 5 pp.
CODEN: GEXXA8

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 252603	A1	19871223	DD 1986-294469	19860917
PRIORITY APPLN. INFO.	:		DD 1986-294469	19860917
GI				

AB The title compds. [I; R = alkyl, alkoxy, halo, NO2, CN, CF3, alkoxycarbonyl, amino, acyl; R2 = H, alkyl; X = (substituted) C1-10 alkylene; n = 0-4] useful as agrochems., for example as fungicides (no data), were prepared by cyclocondensation of (aminobenzoyl)amino acids II with CSC12 in H2O or an organic solvent in the presence of a base, optionally followed by hydrolysis. o-Aminohippuric acid Me ester and Et3N in CHC13 at 5° were treated with CSC12 in CHC13. The mixture was then stirred 2 h at room temperature and 30 min at 35° to qive 44% I (n = 0, R2 = Me, X = CH2).

RX(1) OF 3 A ===> E...

RX(1) RCT A 82185-40-8 PRO B 85716-94-5

L45 ANSWER 7 OF 18 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 108:131849 CASREACT Full-text

TITLE: Preparation of 2-alkoxycarbonyl-3,4-dihydro-4-oxoquinazolin-3-yl-alkanoates as agrochemical

fungicides

INVENTOR(S): Suesse, Manfred; Ermisch, Christine; Johne, Siegfried

PATENT ASSIGNEE(S): Akademie der Wissenschaften der DDR, Ger. Dem. Rep. SOURCE: Ger. (East), 4 pp.

Ger. (East), 4 pp. CODEN: GEXXA8

DOCUMENT TYPE: Patent
LANGUAGE: German

LANGUAGE: Ge FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 247450	A1	19870708	DD 1986-288515	19860331
PRIORITY APPLN. INFO.	:		DD 1986-288515	19860331

R_n² NCH₂XCO₂R¹

AB The title compds. (I; R1 = alkyl; R2 = alkyl, alkoxy, halo, CN, N02, CF3; n = 0-4) were prepared as agrochem. fungicides (no data). A mixture of 3-(o-aminobenzoylamino)propanoic acid and excess di-Et oxalate was heated at 140° for 4 h to give 25% I (R1 = Et, X = CH2, 1 = 0).

RX(1) OF 1 A + B ===> C

RX(1) RCT A 13135-92-7, B 95-92-1 PRO C 107466-56-8

L45 ANSWER 8 OF 18 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 108:94592 CASREACT Full-text
TITLE: Preparation of 2-(alkoxycarbonyl)-4-oxo-3quinazolinealkaroates as agrochemical fungicides

INVENTOR(S): Suesse, Manfred; Ermisch, Christine; Johne, Siegfried Aratent ASSIGNEE(S): Akademie der Wissenschaften der DDR, Ger. Dem. Rep. SOURCE: Ger. (East), 4 pp.

CODEN: GEXXA8

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 247449	A1	19870708	DD 1986-288514	19860331
PRIORITY APPLN. INFO	.:		DD 1986-288514	19860331

GI

AB The title compds. (I; R1 = alkyl; R2 = alkyl, alkoxy, halo, CN, NO2, CF3; X = C1-10 alkylene; n = 0-4) were prepared as agrochem. fungicides (no data). X o a 10° solution of Et 2-amino-hippurate in MeCN were added Et3N and ClCCCOZEt. The temperature was gradually raised to 80° over 1 h and the mixture was stirred for another 30 min to give 10% I (R1 = Et, X = CH2, n = 0).

RX(1) OF 1 A + B ===> C

33

RX (1) RCT A 5973-34-2, B 4755-77-5 PRO C 64697-12-7

L45 ANSWER 9 OF 18 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 106:138387 CASREACT Full-text

TITLE: Ouinazolinecarboxylic acid. Synthesis of

alky1[2-(ethoxycarbony1)-3,4-dihydro-4-oxoguinazolin-3-

v1]-, [2-(ethoxycarbonyl)guinazolin-4-vloxy]- and (5,6,7,8-tetrahydro-2-phenylquinazolin-4-

ylthio)alkanoates

AUTHOR(S): Suesse, Manfred; Adler, Frank; Johne, Siegfried

CORPORATE SOURCE: Inst. Biochem. Pflanzen Halle, Dtsch. Akad. Wiss.,

Halle/Saale, DDR-4010, Ger. Dem. Rep. SOURCE: Helvetica Chimica Acta (1986), 69(5),

1017-24

CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: German

AB Cyclization of 2-H2NC6H4CONH(CH2)nCO2Et (I, n = 2, 3) with Et02CCO2Et gave quinazolines II (R = Et, R1 = CO2Et), whereas, condensation of I (n = 1) with C1COCO2Et gave a mixture of 2-Eto2CCONHC6H4CONHCH2CO2Et and II (n = 1, R = Et, R1 = CO2Et). Cyclization of 2-H2NC6H4CONH2 (III) with EtO2CCO2Et followed by condensation with BrCH2CO2R (R = Me, Et) gave II (n = 1, R = Me, Et, R1 = CO2Et), whereas, cyclization of III with EtO2CCO2Et followed by condensation with R2CH2CHBrCO2Et (R2 = H, Me) gave quinazoline esters IV. Condensation of III with C1COCH2CH2CO2Me gave 2- H2NCOC6H4NHCOCH2CH2CO2Me which was cyclized with BrCH2CO2Et to give II (n = 1, R = Et, R1 = CH2CH2CO2CH2CO2Et). Quinazoline thioethers V (R3 = Me, Et, R4 = H, Et, CHMe2) were prepared by aminolysis of 5,6,7,8-tetrahydro-1,3- benzoxazine-4(3H)-thione followed by condensation with BrCHR4C02R3.

RX(1) OF 27 A + B ===> C

C YIELD 25%

RX(1) RCT A 13135-92-7, B 95-92-1

PRO C 107466-56-8

L45 ANSWER 10 OF 18 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 106:102208 CASREACT Full-text

TITLE: Quinazolinecarboxylic acids. 5. Synthesis of

1,4-dihydroquinazolin-4-on-1-ylacetic acids and esters

AUTHOR(S): Suesse, Manfred; Johne, Siegfried

CORPORATE SOURCE: Inst. Biochem. Pflanzen, Dtsch. Akad. Wiss., Halle,

DDR-4020, Ger. Dem. Rep.

SOURCE: Monatshefte fuer Chemie (1986), 117(4),

499-509

CODEN: MOCMB7; ISSN: 0026-9247

DOCUMENT TYPE: Journal

LANGUAGE: German
GI

Cyclization of aminobenzamides I (R = H, Cl, Br; R1 = Me, Et), prepared by AB reaction of benzoxazinediones II with NH3, with HC(OEt)3 gave esters III (R2 = H), hydrolysis of III lead to the title acids III (R1 = H). 2-Substituted quinazolinones III (R2 = Me, Et, Ph, 4-02NC6H4, 4-HOC6H4, 2-C1C6H4) could be obtained by reaction of I with acid chlorides or by reaction of II with amidines. Quinazolinone IV was synthesized in a similar way. The amide 2-H2NC6H4CONHCH2CO2Me showed reaction behavior different from that of I.

RX(27) OF 48 AT + AU ===> AV

AV YIELD 36%

AUTHOR(S):

RX(27) RCT AT 82185-40-8, AU 707-07-3 PRO AV 106634-20-2

L45 ANSWER 11 OF 18 CASREACT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 105:208821 CASREACT Full-text

TITLE: A facile one pot synthesis of 2,9-disubstituted

8-azapurin-6-ones (3.5-disubstituted

7-hydroxy-3H-1,2,3-triazolo[4,5-d]pyrimidines) Barili, Pier Luigi; Biagi, Giuliana; Livi, Oreste;

Scartoni, Valerio

CORPORATE SOURCE: Ist. Chim. Org., Univ. Pisa, Pisa, 56100, Italy SOURCE:

Journal of Heterocyclic Chemistry (1985),

22(6), 1607-9 CODEN: JHTCAD: ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Cyclization of NCCH2CONH2, PhCH2N3, and RCO2R1 [R = H, Me, Et, Pr, MeOCH2CH2, CH(DEt)2, CH2CH2CO2H, CO2Et, Ph, PhCH2, BzNHCH2; Rl = Me, Et] gave 43-95% title compds. I.

RX(2) OF 11 A + B + G ===> H

RX(2) RCT A 107-91-5, B 622-79-7

STAGE (1)

RGT E 141-52-6 NaOEt SOL 64-17-5 EtOH

STAGE (2)

RCT G 141-78-6

SOL 64-17-5 EtOH

PRO H 71492-05-2

L45 ANSWER 12 OF 18 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 106:32964 CASREACT Full-text
TITLE: Quinazolincarboxylic acids. IX. Synthesis and

AUTHOR (S): reactions of 2-ureidohippuric acids and their esters
AUTHOR (S): Suesse, Manfred; Johne, Siegfried
CORPORATE SOURCE: Inst. Biochem. Pflanzen Halle, Dtsch. Akad. Wiss.,
Halle/Saale, DDR-4020/3, Ger. Dem. Rep.

SOURCE: Zeitschrift fuer Chemie (1985), 25(11), 403-4

403-4

CODEN: ZECEAL; ISSN: 0044-2402

DOCUMENT TYPE: Journal LANGUAGE: German

GI

AB The aminohippuric acids I (R = H, 5-Br, 3,5-Br2, 3,5-Cl2; Rl = H, Me; R2 = H) were treated with KCNO to give the ureido derivs. I (R2 = CONH2). I (R = 5-Br, Rl = Me, R2 = CONH2) was heated at 200-210° under N to give the quinazoline II (R3 = CH2CO2Me). I (R = H, Rl = Me, R2 = CONH2) was treated with KOH in EtOH to give II (R3 = H).

RX(7) OF 12 ...H ===> 0

(7)

_

RX(7) RCT H 105217-21-8 PRO 0 106047-54-5 SOL 7727-37-9 N2 L45 ANSWER 13 OF 18 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 96:85497 CASREACT Full-text

TITLE: 2-[(Acylamino)methyl]-6-methylpyrimidin-4(3H)-ones. Novel precursors for the synthesis of

imidazo[1,5-a]pyrimidines and imidazo[4,5-b]pyridines Katagiri, Nobuya; Koshihara, Akemi; Atsuumi, Shugo;

Kato, Tetsuzo

CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, 980, Japan SOURCE: Journal of Organic Chemistry (1982), 47(1),

167-9

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal LANGUAGE: English

AUTHOR(S):

Pyrimidinones I (R = Me, CHMe2, Ph), prepared from 3-aminocrotonamide and Et N-acylglycinates, are novel and versatile precursors for the preparation of imidazopyrimidines II (R = Me, CHMe2) and imidazopyridines III (R = Me, CHMe2, Ph).

RX(3) OF 30 F + G ===> H...

H YIELD 71%

RX(3) RCT F 15846-25-0, G 1906-82-7 PRO H 79898-99-0

L45 ANSWER 14 OF 18 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 96:162734 CASREACT Full-text
TITLE: Ouinazoline-2,4-dione-3-acetic a

TITLE: Quinazoline-2,4-dione-3-acetic acids INVENTOR(S): Suesse, Manfred; Johne, Siegfried

PATENT ASSIGNEE(S): Akademie der Wissenschaften der DDR, Ger. Dem. Rep.

SOURCE: Ger. (East), 16 pp.

CODEN: GEXXA8

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 151308	A1	19811014	DD 1980-221623	19800606
PRIORITY APPLN. INFO	:		DD 1980-221623	19800606
GI				

AB Quinazolinediones I (R, R1 = H, halogen, NO2, alkyl, alkoxy, CO2H) were prepared Thus 6,8-dibromoisatoic anhydride was treated with H2NCH2CO2Me.HC1 to give 90% 2,3,5-H2N(Br)2C6H2CONHCH2CO2Me which was cyclized with C1CO2Et and saponified to give 50% I (R = R1 = Br).

RX(6) OF 13 ...F + D ===> H

RX(6) RCT F 81438-16-6, D 541-41-3 PRO H 81438-17-7

L45 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2007:591360 CAPLUS Full-text

DOCUMENT NUMBER: 147:31135

TITLE: Pyrimidinone derivatives as calcilytic compounds and their preparation, pharmaceutical compositions and use

as calcium receptor inhibitors for treatment of bone and mineral diseases

INVENTOR(S): Ku, Thomas Wen Fu; Lin, Hong; Luengo, Juan I.;

Marquis, Robert W., Jr.; Ramanjulu, Joshi M.; Trout,

Robert; Yamashita, Dennis S.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA SOURCE: PCT Int. Appl., 251pp.

SOURCE: PCT Int. Appl., 25.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

		PATENT NO.					KIND DATE				APPLICATION NO.						DATE			
		WO 2007062370				A2	-	2007	20070531 WO 2				2006-US61150				20061121			
	WO	2007	0623	70		A3		20071122												
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
			GE,	GH,	GM,	GΤ,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,	KN,		
			KΡ,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,		
			MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	ΝI,	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,		
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,		
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW								
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,		
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,		
			GM,	KΕ,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,		
						RU,	ТJ,	TM,	ΑP,											
PRIORITY APPLN. INFO.:										US 2005-738731P					P 20051122					
											US 2	005-	7390	67P		P 2	0051	122		
		Mannag 147.31136																		

OTHER SOURCE(S): MARPAT 147:31135

GT

- AB Novel calcilytic compds. of formula I, pharmaceutical compns., methods of synthesis and methods of using them are provided. Compds. of formula I wherein C is O and S; R1 and R2 are independently H, halo, CN, C1-10 alkyl, C2-6 alkenvl, cvcloalkvl, (hetero)arvl, etc.; R3 is (un)substituted (hetero)aryl; R4 is (un)substituted (hetero)aryl, (un)substituted heterocyclyl, (un)substituted cycloalkyl-C1-4 alkyl, etc.; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by alkylation of Et 3-oxobutanoate with 3-bromo-2-methyl-1propene; the resulting Et 2-acety1-4-methy1-4-pentenoate underwent amidation with phenethylamine to give 2-acetyl-4-methyl-N-(phenethyl)-4- pentenamide, which underwent hydrogenation to give 2-acetyl-4-methyl-N- (phenethyl)-4pentanamide, which underwent cyclization with 2-fluoro-3-methoxybenzamide to give 2-[2-fluoro-3-methoxyphenyl]-6-methoxy-5-(2-methylpropyl)-3-(2phenylethyl)-4(3H)-pyrimidinone, which underwent demethylation to give compound II. All the invention compds, were evaluated for their calcium receptor inhibitory activity.
- IT 938179-15-8P 938179-98-7P 938180-14-4P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of pyrimidinone derivs. as calcium receptor inhibitors useful in the treatment of bone and mineral diseases)
- RN 938179-15-8 CAPLUS
 CN 4(3H)-Pyrimidinone, 5-ethyl-2-(3-fluoro-2-hydroxyphenyl)-3-[2-(2-fluorophenyl)ethyl]-6-methyl- (CA INDEX NAME)

- RN 938179-98-7 CAPLUS
- CN 4(3H)-Pyrimidinone, 2-(3-fluoro-2-hydroxyphenyl)-6-methyl-5-(2-methylpropyl)-3-(2-phenylethyl)- (CA INDEX NAME)

RN 938180-14-4 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-fluoro-2-hydroxyphenyl)-6-methyl-3-(2-phenylethyl)-5-propyl- (CA INDEX NAME)

ΙT 780771-55-3P 938177-03-8P 938177-43-6P 938177-48-1P 938177-52-7P 938177-71-0P 938177-76-5P 938177-80-1P 938177-82-3P 938178-59-7P 938178-60-0P 938178-63-3P 938178-65-5P 938178-66-6P 938178-79-1P 938178-80-4P 938178-81-5P 938178-90-6P 938178-91-7P 938178-98-4P 938178-99-5P 938179-00-1P 938179-01-2P 938179-02-3P 938179-08-9P 938179-16-9P 938179-21-6P 928179-23-8P 938179-33-0P 938179-42-1P 938179-44-3P 938179-45-4P 938179-47-6P 938179-49-8P 938179-50-1P 938179-51-2P 938179-54-5P 938179-99-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of pyrimidinone derivs. as calcium receptor inhibitors useful in the treatment of bone and mineral diseases)

RN 780771-55-3 CAPLUS

CN 4(3H)-Quinazolinone, 3-[2-(3-fluorophenyl)ethyl]-5,6,7,8-tetrahydro-2-(2-hydroxyphenyl)- (CA INDEX NAME)

RN 938177-03-8 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(2,3-dihydroxyphenyl)-6-methyl-5-(2-methylpropyl)-3-(2-phenylethyl)- (CA INDEX NAME)

RN 938177-43-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(3,6-difluoro-2-hydroxyphenyl)-5-ethyl-3-[2-(3-fluorophenyl)ethyl]-6-methyl- (CA INDEX NAME)

RN 938177-48-1 CAPLUS

CN 4(3H)-Quinazolinone, 3-[2-(2-fluorophenyl)ethyl]-5,6,7,8-tetrahydro-2-(2-hydroxyphenyl)-5,5-dimethyl- (CA INDEX NAME)

RN 938177-52-7 CAPLUS

CN 4(3H)-Quinazolinone, 2-(3-fluoro-2-hydroxyphenyl)-5,6,7,8-tetrahydro-3-(2phenylethyl)- (CA INDEX NAME)

RN 938177-71-0 CAPLUS

CN 4(3H)-Pyrimidinone, 5,6-diethyl-2-(3-fluoro-2-hydroxyphenyl)-3-[2-(2-fluorophenyl)ethyl]- (CA INDEX NAME)

RN 938177-76-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-fluoro-2-hydroxyphenyl)-3-[2-(2-fluorophenyl)ethyl]-6-methyl-5-(2-methylpropyl)- (CA INDEX NAME)

RN 938177-80-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-fluoro-2-hydroxyphenyl)-3-[2-(4-fluorophenyl)ethyl]-6-methyl-5-(2-methylpropyl)- (CA INDEX NAME)

RN 938177-82-3 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-fluoro-2-hydroxyphenyl)-3-[2-(3-fluorophenyl)ethyl]-6-methyl-5-(2-methylpropyl)- (CA INDEX NAME)

- RN 938178-59-7 CAPLUS
- CN 4(3H)-Pyrimidinone, 2-(2-hydroxyphenyl)-6-methyl-5-(2-methylpropyl)-3-(2-phenylethyl)- (CA INDEX NAME)

- RN 938178-60-0 CAPLUS
- CN 4(3H)-Pyrimidinone, 2-(2-hydroxyphenyl)-6-methyl-5-(2-methyl-2-propen-1-yl)-3-(2-phenylethyl)- (CA INDEX NAME)

- RN 938178-63-3 CAPLUS
- CN 4(3H)-Quinazolinone, 5,6,7,8-tetrahydro-2-(2-hydroxyphenyl)-6,6-dimethyl-3-(2-phenylethyl)- (CA INDEX NAME)

- RN 938178-65-5 CAPLUS
- CN 4(3H)-Pyrimidinone, 5-cyclopropyl-2-(3-fluoro-2-hydroxyphenyl)-6-methyl-3-(2-phenylethyl)- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{Ph-} \text{CH}_2 \\ \text{CH}_2 \end{array}$$

RN 938178-66-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-fluoro-2-hydroxyphenyl)-3-[2-(3-fluorophenyl)ethyl]-6-methyl-5-(3-methylbutyl)- (CA INDEX NAME)

RN 938178-79-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(2-hydroxyphenyl)-5-(2-methylpropyl)-3-(2-phenylethyl)-6-propyl- (CA INDEX NAME)

RN 938178-80-4 CAPLUS

CN 4(3H)-Pyrimidinone, 6-ethyl-2-(2-hydroxyphenyl)-5-(2-methylpropyl)-3-(2-phenylethyl)- (CA INDEX NAME)

RN 938178-81-5 CAPLUS

CN 4(3H)-Pyrimidinone, 6-butyl-2-(2-hydroxyphenyl)-5-(2-methylpropyl)-3-(2phenylethyl)- (CA INDEX NAME)

- RN 938178-90-6 CAPLUS
- CN 4(3H)-Quinazolinone, 3-[2-(2-chlorophenyl)ethyl]-5,6,7,8-tetrahydro-2-(2-hydroxyphenyl)- (CA INDEX NAME)

- RN 938178-91-7 CAPLUS
- CN 4(3H)-Quinazolinone, 3-[2-(3-fluorophenyl)ethyl]-5,6,7,8-tetrahydro-2-(2-hydroxyphenyl)-5,5-dimethyl- (CA INDEX NAME)

- RN 938178-98-4 CAPLUS
- CN 4(3H)-Pyrimidinone, 2-(2-hydroxyphenyl)-6-methyl-5-(1-methylpropyl)-3-(2-phenylethyl)- (CA INDEX NAME)

- RN 938178-99-5 CAPLUS
- CN 4(3H)-Pyrimidinone, 2-(3-fluoro-2-hydroxyphenyl)-6-methyl-5-(1-methylpropyl)-3-(2-phenylethyl)- (CA INDEX NAME)

- RN 938179-00-1 CAPLUS
- CN 4(3H)-Pyrimidinone, 5-butyl-2-(2-hydroxyphenyl)-6-methyl-3-(2-phenylethyl)(CA INDEX NAME)

RN 938179-01-2 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(2-hydroxyphenyl)-6-methyl-5-pentyl-3-(2-phenylethyl)- (CA INDEX NAME)

RN 938179-02-3 CAPLUS

RN 938179-08-9 CAPLUS

CN 4(3H)-Quinazolinone, 2-(3-fluoro-2-hydroxyphenyl)-3-[2-(3-fluorophenyl)ethyl]-5,6,7,8-tetrahydro- (CA INDEX NAME)

RN 938179-16-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-fluoro-2-hydroxyphenyl)-3-[2-(3fluorophenyl)ethyl]-6-methyl-5-(1-propen-1-yl)- (CA INDEX NAME)

RN 938179-21-6 CAPLUS

CN 4(3H)-Quinazolinone, 3-[2-(3-chlorophenyl)ethyl]-5,6,7,8-tetrahydro-2-(2-hydroxyphenyl)- (CA INDEX NAME)

RN 938179-23-8 CAPLUS

CN 4(3H)-Quinazolinone, 5,6,7,8-tetrahydro-2-(2-hydroxyphenyl)-3-[2-[3-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)

RN 938179-33-0 CAPLUS

CN 4(3H)-Pyrimidinone, 5-(1,1-dimethylethyl)-2-(2-hydroxyphenyl)-6-methyl-3-(2-phenylethyl)- (CA INDEX NAME)

RN 938179-42-1 CAPLUS

CN 4(3H)-Pyrimidinone, 3-[2-(2-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6-

methyl-5-(2-methylpropyl)- (CA INDEX NAME)

- RN 938179-44-3 CAPLUS
- CN 4(3H)-Pyrimidinone, 5,6-diethyl-2-(2-hydroxyphenyl)-3-(2-phenylethyl)-(CA INDEX NAME)

- RN 938179-45-4 CAPLUS
- CN 4(3H)-Pyrimidinone, 5-ethyl-2-(2-hydroxyphenyl)-3-(2-phenylethyl)-6-propyl-(CA INDEX NAME)

- RN 938179-47-6 CAPLUS
- CN 4(3H)-Pyrimidinone, 5-ethyl-2-(3-fluoro-2-hydroxyphenyl)-3-[2-(2-fluorophenyl)ethyl]-6-propyl- (CA INDEX NAME)

- RN 938179-49-8 CAPLUS
- CN 4(3H)-Pyrimidinone, 6-butyl-5-ethyl-2-(3-fluoro-2-hydroxyphenyl)-3-[2-(2-fluorophenyl)ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Et} & \text{O} \\ \text{N-Bu} & \text{N-CH}_2-\text{CH}_2 \\ \end{array}$$

- RN 938179-50-1 CAPLUS
- CN 4(3H)-Pyrimidinone, 5-ethyl-2-(3-fluoro-2-hydroxyphenyl)-3-[2-(2-fluorophenyl)ethyl]-6-(2-methylpropyl)- (CA INDEX NAME)

- RN 938179-51-2 CAPLUS
- CN 4(3H)-Pyrimidinone, 5-ethyl-2-(3-fluoro-2-hydroxyphenyl)-3-[2-(2-fluorophenyl)ethyl]-6-(3-methylbutyl)- (CA INDEX NAME)

$$\begin{array}{c} \text{Bt} \\ \text{Me}_2\text{CH} - \text{CH}_2 - \text{CH}_2 \\ \end{array} \\ \begin{array}{c} \text{N} \\ \text{OH} \end{array}$$

- RN 938179-54-5 CAPLUS
- CN 4(3H)-Pyrimidinone, 5-ethyl-2-(4-fluoro-2-hydroxyphenyl)-6-methyl-3-(2-phenylethyl)- (CA INDEX NAME)

RN 938179-99-8 CAPLUS

CN 4(3H)-Quinazolinone, 5,6,7,8-tetrahydro-2-(2-hydroxyphenyl)-5,5-dimethyl-3-(2-phenylethyl)- (CA INDEX NAME)

IT 938180-43-9P 938180-58-6P 938181-03-4P

938181-16-9P 938181-18-1P 938181-44-3P

938181-72-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyrimidinone derivs. as calcium receptor inhibitors useful in the treatment of bone and mineral diseases)

RN 938180-43-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(3,6-difluoro-2-methoxyphenyl)-5-ethyl-3-[2-(3-fluorophenyl)ethyl]-6-methyl- (CA INDEX NAME)

RN 938180-58-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-fluoro-2-methoxyphenyl)-3-[2-(2-fluorophenyl)ethyl]-6-methyl-5-(2-methylpropyl)- (CA INDEX NAME)

RN 938181-03-4 CAPLUS

CN 4(3H)-Quinazolinone, 3-[2-(2-chlorophenyl)ethyl]-5,6,7,8-tetrahydro-2-(2-methoxyphenyl)- (CA INDEX NAME)

RN 938181-16-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-fluoro-2-methoxyphenyl)-6-methyl-5-(1-methylpropyl)-3-(2-phenylethyl)- (CA INDEX NAME)

RN 938181-18-1 CAPLUS

CN 4(3H)-Pyrimidinone, 5-butyl-2-(2-methoxyphenyl)-6-methyl-3-(2-phenylethyl)(CA INDEX NAME)

RN 938181-44-3 CAPLUS

CN 4(3H)-Pyrimidinone, 5,6-diethyl-2-(2-methoxyphenyl)-3-(2-phenylethyl)(CA INDEX NAME)

RN 938181-72-7 CAPLUS

4(3H)-Quinazolinone, 5,6,7,8-tetrahydro-2-(2-methoxyphenyl)-5,5-dimethyl-3-(2-phenylethyl) - (CA INDEX NAME)

L45 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:605352 CAPLUS Full-text

DOCUMENT NUMBER: 145:83371

TITLE: Preparation of prodrug constructs of pyrimidinone

compounds as calcilytics

INVENTOR(S): Shcherbakova, Irina; Wermuth, Camille G.; Jeannot, Frederic; Ciapetti, Paola; Roques, Virginie; Jung, Laetitia M.; Balandrin, Manuel F.; Nair, Satheesh, K.;

Swierczek, Krzysztof; McCaffrey, Jennifer; Heaton, William L.; Breinholt, Jeff A.; Conklin, Rebecca L.

NPS Pharmaceuticals, Inc., USA PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	TENT :		KIND DATE			APPLICATION NO.						DATE						
WO 2006066070					A2 20060622			WO 2005-US45565						20051216				
WO	2006	0660	70		A3		2006	0921										
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,	
		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW.	MX,	
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
		SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	
		VN,	YU,	ZA,	ZM,	ZW												
	RW:	AT.	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
		IS.	IT.	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,	
		CF.	CG.	CI.	CM,	GA,	GN.	GO,	GW,	ML,	MR,	NE.	SN,	TD,	TG,	BW,	GH,	
		GM,	KE.	LS.	MW.	MZ.	NA.	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG,	KZ.	MD,	RU,	TJ.	TM											
RITY APPLN. INFO.:									US 2004-637115P					1	P 20041217			
R S	DURCE	(S):			MARPAT 145:83371													

PR. GI

- AB Calcilytic pyrimidinones I [R1 and R2 = H, halo, CN, CF3, etc.; R3 = (un)substituted aryl group; R4 = H, alkyl, aryl, etc.], and prodrugs as well as pharmaceutically acceptable salts thereof, are prepared for use in treating disease or disorders characterized by abnormal bone or mineral homeostasis. Thus, e.g., II was prepared by amidation of anisoyl chloride with 2-amino-2-isopropylbut-2-enoic acid Me ester (preparation given) followed by cyclization with 3-fluorphenethyl amine and demethylation. Calcilytic compds. capable of inhibiting calcium receptor activity. Assays for determining calcium receptor inhibition are described with parameter of desirable IC50 values given. Methods for preparing these compds., oral bioavailability of these compds., pharmaceutical compns. containing these compds. and their use as calcium receptor antagonists are also disclosed.
- II 769771-46-4P P93053-18-aF 893053-34-4P
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT
 (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL
 (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES
 (Uses)
- (preparation of prodrug constructs of pyrimidinone compound as calcilytics) ${\tt RN} \quad 780771-48-4 \quad {\tt CAPLUS}$
- CN 4(3H)-Pyrimidinone, 3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6methyl-5-(1-methylethyl)- (CA INDEX NAME)

- RN 893053-18-4 CAPLUS
- CN 4(3H)-Pyrimidinone, 2-(3-fluoro-2-methoxyphenyl)-3-[2-(3-fluorophenyl)ethyl]-6-methyl-5-(1-methylethyl)- (CA INDEX NAME)

- RN 893053-34-4 CAPLUS
- CN 4(3H)-Pyrimidinone, 3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6methyl-5-(2-methylpropyl)- (CA INDEX NAME)

- IT 893053-26-4P
- RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of prodrug constructs of pyrimidinone compound as calcilytics)
- RN 893053-26-4 CAPLUS
 - CN 4(3H)-Pyrimidinone, 2-(3-fluoro-2-hydroxyphenyl)-3-[2-(3-fluorophenyl)ethyl]-6-methyl-5-(1-methylethyl)- (CA INDEX NAME)

- IT 893054-83-6P 893054-99-4P
- RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of prodrug constructs of pyrimidinone compound as calcilytics)
- RN 893054-83-6 CAPLUS
- CN 4(3H)-Pyrimidinone, 5-(1,2-dimethylpropyl)-3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6-methyl- (CA INDEX NAME)

RN 893054-99-4 CAPLUS

CN 4(3H)-Quinazolinone, 3-[2-(3-fluorophenyl)ethyl]-5,6,7,8-tetrahydro-2-(2-hydroxyphenyl)-5-methyl-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.

IT 780771-51-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of prodrug constructs of pyrimidinone compound as calcilytics)

RN 780771-51-9 CAPLUS

CN 4(3H)-Pyrimidinone, 3-[2-(3-fluorophenyl)ethyl]-2-(2-methoxyphenyl)-6methyl-5-(1-methylethyl)- (CA INDEX NAME)

L45 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2005:378882 CAPLUS Full-text

DOCUMENT NUMBER: 143:59927

TITLE: Design, new synthesis, and calcilytic activity of

substituted 3H-pyrimidin-4-ones

AUTHOR(S): Shcherbakova, Irina; Huang, Guangfei; Geoffroy, Otto J.; Nair, Satheesh K.; Swierczek, Krzysztof;

Balandrin, Manuel F.; Fox, John; Heaton, William L.;

Conklin, Rebecca L.

CORPORATE SOURCE: Drug Discovery, NPS Pharmaceuticals, Inc., Salt Lake City, UT, 84108, USA

Bioorganic & Medicinal Chemistry Letters (2005),

15(10), 2537-2540

CODEN: BMCLE8: ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:59927

GT

SOURCE:

- AB Design, synthesis, structure-activity relationship studies and calcium receptor antagonist (calcilytic) properties of 3H-pyrimidin-4-ones, e.g., I, are described. The pyrimidinones were synthesized by multistep procedures.

 1I 789771-35-9P 780771-41-7P 780771-43-9P
- 780771-44-0P 780771-47-3P 780771-48-4P 780771-53-1P 780771-54-2P 780771-55-3P

780771-53-1P 780771-54-2P 780771-55-3P 780771-56-4P 780771-57-5P 780771-58-6P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation, calcilytic activity, and structure-activity relationship of

substituted pyrimidinones starting from hydroxybenzonitrile or β-keto esters and phenylethylamines using multistep procedures)

RN 780771-35-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(2-hydroxyphenyl)-5,6-dimethyl-3-(2-phenylethyl)(CA INDEX NAME)

- RN 780771-41-7 CAPLUS
- CN 4(3H)-Pyrimidinone, 3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-5,6dimethyl- (CA INDEX NAME)

- RN 780771-43-9 CAPLUS

RN 780771-44-0 CAPLUS

CN 4(3H)-Pyrimidinone, 5-ethyl-3-[2-(2-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6-methyl- (CA INDEX NAME)

- RN 780771-47-3 CAPLUS
- CN 4(3H)-Pyrimidinone, 3-[2-(3-fluoropheny1)ethy1]-2-(2-hydroxypheny1)-6methy1-5-propy1- (CA INDEX NAME)

- RN 780771-48-4 CAPLUS
- CN 4(3H)-Pyrimidinone, 3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6-methyl-5-(1-methylethyl)- (CA INDEX NAME)

- RN 780771-53-1 CAPLUS
- CN 4(3H)-Pyrimidinone, 2-(2-hydroxypheny1)-5-methy1-3-(2-phenylethy1)-6-(trifluoromethy1)- (CA INDEX NAME)

RN 780771-54-2 CAPLUS

CN 4(3H)-Quinazolinone, 5,6,7,8-tetrahydro-2-(2-hydroxyphenyl)-3-(2phenylethyl)- (CA INDEX NAME)

RN 780771-55-3 CAPLUS

CN 4(3H)-Quinazolinone, 3-[2-(3-fluorophenyl)ethyl]-5,6,7,8-tetrahydro-2-(2-hydroxyphenyl)- (CA INDEX NAME)

RN 780771-56-4 CAPLUS

CN 4(3H)-Pyrimidinone, 5-cyclopropy1-3-[2-(3-fluoropheny1)ethy1]-2-(2-hydroxypheny1)-6-methy1- (CA INDEX NAME)

RN 780771-57-5 CAPLUS

CN 4H-Cyclopentapyrimidin-4-one, 3,5,6,7-tetrahydro-2-(2-hydroxyphenyl)-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 780771-58-6 CAPLUS

CN 4H-Cyclopentapyrimidin-4-one, 3-[2-(3-fluorophenyl)ethyl]-3,5,6,7tetrahydro-2-(2-hydroxyphenyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Preparation of pyrimidinone compounds as calcilytics

Shcherbakova, Irina V.; Balandrin, Manuel F.; Huang, Guangfei; Geoffroy, Otto; Fox, John; Marquis, Robert;

L45 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:902338 CAPLUS Full-text

DOCUMENT NUMBER: 141:366249

TITLE:

INVENTOR(S):

Yamashita, Dennis Shinji; Luengo, Juan; Wang, Wenyong NPS Pharmaceuticals, Inc., USA; Glaxosmithkline PCT Int. Appl., 57 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA:	ENT :				KIND DATE			APPLICATION NO.						DATE					
	2004	0921	20		A2		2004			WO 2004-US10638						20040407			
WO	2004	0921:	20		A3		2005	0414											
	₩:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,		
		BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,		
		ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,		
		SK,	TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,		
		TD,	TG																
AU 2004230903					A1	A1 20041028				AU 2	004-	2309	03		20040407				

	2521 1615				A1 A2			1028 0118			2004-					0040		
LIL.		AT.	BE,	CH.					_		IT.			NL.				
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR
CN	1835	928			A		2006	0920	(CN 2	2004-	8000	9255		2	0040	407	
JP	2006	5221	59		T		2006	0928	į.	JP 2	2006-	5097	58		2	0040	407	
MX	2005	PA10	683		A		2006	0801	1	MX 2	2005-	PA10	683		2	0051	004	
US	2007	1975.	55		A1		2007	0823	Ţ	JS 2	2006-	5523	63		2	0061	120	
PRIORITY	APP:	LN.	INFO	. :					Ţ	JS 2	2003-	4608	59P		P 2	0030	407	
									Ţ	JS 2	2003-	4793	23P		P 2	0030	618	
									V	NO 2	004-	US10	638		W 2	0040	407	

OTHER SOURCE(S): CASREACT 141:366249; MARPAT 141:366249

- AB Title compds. I [R1-2 = H, halo, CN, CF3, etc.; R3 = aryl; R4 = H, alkyl, etc.] are prepared For instance, 2-(2-Hydroxyphenyl)-6-methyl-3-phenethyl-3H-pyrimidin-4-one is prepared from o-hydroxybenzonitrile, acetyl chloride and Me acetoacetate. Compds. of the invention have IC50 values < 30 µM in a calcium receptor inhibition assay. I are useful for the treatment of abnormal bone or mineral homeostasis.
- IT 780771-42-99, 5-Ethyl-2-(2-hydroxyphenyl)-6-methyl-3-phenethyl-3Hpyrimidin-4-one 780771-51-99, 3-[2-(3-Fluorophenyl)ethyl]-5isopropyl-2-(2-methoxyphenyl)-6-methyl-3H-pyrimidin-4-one RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of pyrimidinone compds. as calcilytics)
- RN 780771-43-9 CAPLUS
- CN 4(3H)-Pyrimidinone, 5-ethyl-2-(2-hydroxyphenyl)-6-methyl-3-(2-phenylethyl)-(CA INDEX NAME)

- RN 780771-51-9 CAPLUS
- CN 4(3H)-Pyrimidinone, 3-[2-(3-fluorophenyl)ethyl]-2-(2-methoxyphenyl)-6methyl-5-(1-methylethyl)- (CA INDEX NAME)

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780771-35-9F, 2-(2-Hydroxyphenyl)-5,6-dimethyl-3-phenethyl-3H-
     pyrimidin-4-one 780771-40-6P, 3-[2-(2-Fluorophenyl)ethyl]-2-(2-
     hydroxyphenyl)-5,6-dimethyl-3H-pyrimidin-4-one 780771-41-7F.
     3-[2-(3-Fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-5,6-dimethyl-3H-pyrimidin-
     4-one 780771-42-8P, 3-[2-(4-Fluorophenyl)ethyl]-2-(2-
     hydroxyphenyl)-5,6-dimethyl-3H-pyrimidin-4-one 780771-44-0P,
     5-Ethyl-3-[2-(2-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6-methyl-3H-
     pvrimidin-4-one 780771-45-1P 780771-46-2P,
     5-Ethyl-3-[2-(4-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6-methyl-3H-
     pyrimidin-4-one 780771-47-3F, 3-[2-(3-Fluorophenyl)ethyl]-2-(2-
     hydroxyphenyl)-6-methyl-5-propyl-3H-pyrimidin-4-one 780771-48-4P
     , 3-[2-(3-Fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-5-isopropyl-6-methyl-3H-
     pyrimidin-4-one 780771-52-0P, 3-[2-(2-Fluorophenyl)ethyl]-2-(2-
     hydroxyphenyl)-5-isopropyl-6-methyl-3H-pyrimidin-4-one
     780771-53-1P, 2-(2-Hydroxyphenyl)-5-methyl-3-phenethyl-6-
     trifluoromethyl-3H-pyrimidin-4-one 780771-54-2P,
     2-(2-Hydroxyphenyl)-3-phenethyl-5,6,7,8-tetrahydro-3H-quinazolin-4-one
     780771-55-3P, 3-[2-(3-Fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-
     5,6,7,8-tetrahydro-3H-quinazolin-4-one 780771-56-4P,
     5-Cyclopropy1-3-[2-(3-fluoropheny1)ethy1]-2-(2-hydroxypheny1)-6-methy1-3H-
     pyrimidin-4-one 780771-57-5F, 2-(2-Hydroxyphenyl)-3-phenethyl-
     3,5,6,7-tetrahydrocyclopenta[1,2-d]pyrimidin-4-one 780771-58-6P,
     3-[2-(3-Fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-3,5,6,7-
     tetrahydrocyclopenta[1,2-d]pyrimidin-4-one 780771-59-7P,
     5-Ethyl-2-(2-methoxyphenyl)-6-methyl-3-phenethyl-3H-pyrimidin-4-one
     780771-62-2P, 5-Ethyl-2-(3-fluoro-2-hydroxyphenyl)-3-[2-(3-
     fluorophenyl)ethyl]-6-methyl-3H-pyrimidin-4-one 780771-64-4P,
     5-Ethv1-2-(5-fluoro-2-hydroxypheny1)-3-[2-(3-fluoropheny1)ethv1]-6-methv1-
     3H-pyrimidin-4-one 780771-65-5P, 5-Ethyl-2-(2-fluoro-6-
     hydroxyphenyl)-3-[2-(3-fluorophenyl)ethyl]-6-methyl-3H-pyrimidin-4-one
     780771-67-7F, 2-(5-Chloro-2-hydroxyphenyl)-5-ethyl-3-[2-(3-
     fluorophenyl)ethyl]-6-methyl-3H-pyrimidin-4-one 780771-68-8P,
     2-(5-Bromo-2-hydroxyphenyl)-5-ethyl-3-[2-(3-fluorophenyl)ethyl]-6-methyl-
     3H-pyrimidin-4-one 780771-69-9P, 5-Ethyl-3-[2-(3-
     fluorophenyl)ethyl]-2-(2-hydroxy-3-isopropylphenyl)-6-methyl-3H-pyrimidin-
     4-one 780771-71-3P, 2-(3,5-Dibromo-2-hydroxyphenyl)-5-ethyl-3-[2-
     (3-fluorophenvl)ethvl]-6-methvl-3H-pyrimidin-4-one 780771-72-4P,
     5-Ethyl-2-(3-chloro-2-hydroxyphenyl)-3-[2-(3-fluorophenyl)ethyl]-6-methyl-
     3H-pyrimidin-4-one 780771-74-6P, 5-Ethyl-3-[2-(3-
     fluorophenyl)ethyl]-2-(2-hydroxy-3-methylphenyl)-6-methyl-3H-pyrimidin-4-
     one 780771-75-7P, 2-(4-Chloro-2-hydroxyphenyl)-5-ethyl-3-[2-(3-
     fluorophenyl)ethyl]-6-methyl-3H-pyrimidin-4-one 780771-76-8P,
     5-Ethyl-3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxy-4-methoxyphenyl)-6-methyl-
     3H-pyrimidin-4-one
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of pyrimidinone compds. as calcilytics)
RN
     780771-35-9 CAPLUS
```

4(3H)-Pvrimidinone, 2-(2-hvdroxyphenvl)-5,6-dimethvl-3-(2-phenvlethvl)-

(CA INDEX NAME)

RN 780771-40-6 CAPLUS

CN 4(3H)-Pyrimidinone, 3-[2-(2-fluoropheny1)ethy1]-2-(2-hydroxypheny1)-5,6dimethy1- (CA INDEX NAME)

RN 780771-41-7 CAPLUS

CN 4(3H)-Pyrimidinone, 3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-5,6dimethyl- (CA INDEX NAME)

RN 780771-42-8 CAPLUS

CN 4(3H)-Pyrimidinone, 3-[2-(4-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-5,6dimethyl- (CA INDEX NAME)

$$\stackrel{\text{Me}}{\longrightarrow} \stackrel{\text{O}}{\underset{\text{N}}{\longrightarrow}} \stackrel{\text{CH}}{\underset{\text{2}}{\longrightarrow}} \text{CH}_2$$

- RN 780771-44-0 CAPLUS
- CN 4(3H)-Pyrimidinone, 5-ethyl-3-[2-(2-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Et} \\ \text{N} \\ \text{R} \end{array} \\ \text{R} \\ \text{CH}_2 - \text{CH}_2 \\ \end{array}$$

- RN 780771-45-1 CAPLUS
- CN 4(3H)-Pyrimidinone, 5-ethyl-3-[2-(3-fluorophenyl)ethyl]-2-(2hydroxyphenyl)-6-methyl- (CA INDEX NAME)

- RN 780771-46-2 CAPLUS
- CN 4(3H)-Pyrimidinone, 5-ethyl-3-[2-(4-fluorophenyl)ethyl]-2-(2hydroxyphenyl)-6-methyl- (CA INDEX NAME)

- RN 780771-47-3 CAPLUS
- CN 4(3H)-Pyrimidinone, 3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6methyl-5-propyl- (CA INDEX NAME)

RN 780771-48-4 CAPLUS

CN 4(3H)-Pyrimidinone, 3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6methyl-5-(1-methylethyl)- (CA INDEX NAME)

RN 780771-52-0 CAPLUS

CN 4(3H)-Pyrimidinone, 3-[2-(2-fluorophenyl)ethyl]-2-(2-hydroxyphenyl)-6methyl-5-(1-methylethyl)- (CA INDEX NAME)

RN 780771-53-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(2-hydroxypheny1)-5-methy1-3-(2-phenylethy1)-6-(trifluoromethy1)- (CA INDEX NAME)

RN 780771-54-2 CAPLUS

CN 4(3H)-Quinazolinone, 5,6,7,8-tetrahydro-2-(2-hydroxyphenyl)-3-(2phenylethyl)- (CA INDEX NAME)

- RN 780771-55-3 CAPLUS
- CN 4(3H)-Quinazolinone, 3-[2-(3-fluorophenyl)ethyl]-5,6,7,8-tetrahydro-2-(2-hydroxyphenyl)- (CA INDEX NAME)

- RN 780771-56-4 CAPLUS
- CN 4(3H)-Pyrimidinone, 5-cyclopropy1-3-[2-(3-fluoropheny1)ethy1]-2-(2-hydroxypheny1)-6-methyl- (CA INDEX NAME)

- RN 780771-57-5 CAPLUS
- CN 4H-Cyclopentapyrimidin-4-one, 3,5,6,7-tetrahydro-2-(2-hydroxyphenyl)-3-(2phenylethyl)- (9CI) (CA INDEX NAME)

- RN 780771-58-6 CAPLUS
- CN 4H-Cyclopentapyrimidin-4-one, 3-[2-(3-fluorophenyl)ethyl]-3,5,6,7tetrahydro-2-(2-hydroxyphenyl)- (9CI) (CA INDEX NAME)

RN 780771-59-7 CAPLUS

CN 4(3H)-Pyrimidinone, 5-ethyl-2-(2-methoxyphenyl)-6-methyl-3-(2-phenylethyl)(CA INDEX NAME)

RN 780771-62-2 CAPLUS

CN 4(3H)-Pyrimidinone, 5-ethyl-2-(3-fluoro-2-hydroxyphenyl)-3-[2-(3-fluorophenyl)ethyl]-6-methyl- (CA INDEX NAME)

RN 780771-64-4 CAPLUS

CN 4(3H)-Pyrimidinone, 5-ethyl-2-(5-fluoro-2-hydroxyphenyl)-3-[2-(3-fluorophenyl)ethyl]-6-methyl- (CA INDEX NAME)

RN 780771-65-5 CAPLUS

CN 4(3H)-Pyrimidinone, 5-ethyl-2-(2-fluoro-6-hydroxyphenyl)-3-[2-(3-fluorophenyl)ethyl]-6-methyl- (CA INDEX NAME)

- RN 780771-67-7 CAPLUS
- CN 4(3H)-Pyrimidinone, 2-(5-chloro-2-hydroxyphenyl)-5-ethyl-3-[2-(3fluorophenyl)ethyl]-6-methyl- (CA INDEX NAME)

- RN 780771-68-8 CAPLUS
- CN 4(3H)-Pyrimidinone, 2-(5-bromo-2-hydroxyphenyl)-5-ethyl-3-[2-(3-fluorophenyl)ethyl]-6-methyl- (CA INDEX NAME)

- RN 780771-69-9 CAPLUS
- CN 4(3H)-Pyrimidinone, 5-ethyl-3-[2-(3-fluorophenyl)ethyl]-2-[2-hydroxy-3-(1-methylethyl)phenyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et} & \bigcirc & \\ & \text{N} & \text{CH}_2 - \text{CH}_2 \\ & & \text{OH} \\ & & \text{Pr-i} \end{array}$$

RN 780771-71-3 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(3,5-dibromo-2-hydroxyphenyl)-5-ethyl-3-[2-(3-fluorophenyl)ethyl]-6-methyl- (CA INDEX NAME)

RN 780771-72-4 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-chloro-2-hydroxyphenyl)-5-ethyl-3-[2-(3-fluorophenyl)ethyl]-6-methyl- (CA INDEX NAME)

RN 780771-74-6 CAPLUS

CN 4(3H)-Pyrimidinone, 5-ethyl-3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxy-3-methylphenyl)-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et} & \bigcirc & \\ & \text{N} & \text{CH}_2\text{-CH}_2 \\ & & \text{OH} \\ & & \text{Ne} \end{array}$$

RN 780771-75-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chloro-2-hydroxypheny1)-5-ethy1-3-[2-(3fluoropheny1)ethy1]-6-methy1- (CA INDEX NAME)

RN 780771-76-8 CAPLUS

CN 4(3H)-Pyrimidinone, 5-ethyl-3-[2-(3-fluorophenyl)ethyl]-2-(2-hydroxy-4-methoxyphenyl)-6-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Et} & \bigcirc \\ \text{Me} & \text{CH}_2\text{-CH}_2 \\ \end{array} \\ \text{OH} \\ \end{array}$$

FILE 'HOME' ENTERED AT 14:38:47 ON 01 FEB 2008

SEARCH HISTORY

 \Rightarrow d stat que 136; d stat que 120; d his nofile L1 $$\operatorname{STR}$$

NODE ATTRIBUTES:

NSPEC IS RC AT 8 DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

L2 448706 SEA FILE=REGISTRY SSS FUL L1 L33 STR

₂₆ c 25

G5 69

Page 2-A VAR G1=OH/OME VAR G2=23/24 VAR G3=23/CF3 REP G4=(1-2) C VAR G5=1/61/30 NODE ATTRIBUTES: CONNECT IS E1 RC AT 23 CONNECT IS E2 RC AT 65 DEFAULT MLEVEL IS ATOM GGCAT IS MCY LOC UNS AT 66 GGCAT IS MCY LOC UNS AT 67 DEFAULT ECLEVEL IS LIMITED GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 69 STEREO ATTRIBUTES: NONE L36 82 SEA FILE=REGISTRY SUB=L2 SSS FUL L33

100.0% PROCESSED 21805 ITERATIONS SEARCH TIME: 00.00.01 82 ANSWERS

L1 STR

NODE ATTRIBUTES:
NSPEC IS RC AT 8
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

L2 448706 SEA FILE=REGISTRY SSS FUL L1
L4 46492 SEA FILE=REGISTRY ABB=ON L2 AND CASREACT/LC
L5 10919 SEA FILE=CASREACT ABB=ON L4
L8 STR

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

* *	" "MAPP	INGS * * * *		
NOD	SYM	ROL	NOD SYM	ROL
2	C	RRT	6 C	PRO
3	N	RRT	7 N	PRO
4	0	RRT	11 0	PRO
6	C	PRO	2 C	RRT
7	N	PRO	3 N	RRT
11	0	PRO	4 0	RRT
L11		1257 SEA	FILE=CASE	REACT SUB
L12		1118 SEA	FILE=CASE	REACT ABB

L11 1257 SEA FILE=CASREACT SUB=L5 SSS FUL L8 (11881 REACTIONS)
L12 1118 SEA FILE=CASREACT ABB=ON L11/COMPLETE

L13 902 SEA FILE=CASREACT ABB=ON L12 AND (PY<2004 OR AY<2004 OR PRY<2004)

L16 STR

VAR G1=14/32/37

NODE ATTRIBUTES:
CONNECT IS E2 RC AT 20
CONNECT IS E2 RC AT 29
CONNECT IS E2 RC AT 44
DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

```
GRAPH ATTRIBUTES:
```

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 40

STEREO ATTRIBUTES: NONE

L19 22 SEA FILE=CASREACT SUB=L11 SSS FUL L16 (56 REACTIONS)

L20 16 SEA FILE=CASREACT ABB=ON L13 AND L19

(FILE 'CAPLUS' ENTERED AT 11:20:31 ON 01 FEB 2008) DEL HIS Y

FILE 'REGISTRY' ENTERED AT 12:04:27 ON 01 FEB 2008 ACT JAI920BAT/A

STR

1.2 448706 SEA SSS FUL L1

ACT JAI920REG/A

L3

39 SEA ABB=ON (116046-53-8/BI OR 128095-14-7/BI OR 1583-88-6/BI OR 1655-07-8/BI OR 21615-34-9/BI OR 22396-14-1/BI OR 404-70-6/B I OR 51756-10-6/BI OR 52721-69-4/BI OR 5538-51-2/BI OR 607-97-6/BI OR 609-14-3/BI OR 611-10-9/BI OR 64-04-0/BI OR 780771-35-9/BI OR 780771-36-0/BI OR 780771-37-1/BI OR 780771-38 -2/BI OR 780771-39-3/BI OR 780771-40-6/BI OR 780771-41-7/BI OR 780771-42-8/BI OR 780771-43-9/BI OR 780771-44-0/BI OR 780771-45 -1/BI OR 780771-46-2/BI OR 780771-47-3/BI OR 780771-48-4/BI OR 780771-49-5/BI OR 780771-50-8/BI OR 780771-51-9/BI OR 780771-52 -0/BI OR 780771-54-2/BI OR 780771-55-3/BI OR 780771-56-4/BI OR 780771-57-5/BI OR 780771-58-6/BI OR 85796-29-8/BI OR 916335-88-1/BI)

D SCAN

L4 46492 SEA ABB=ON L2 AND CASREACT/LC

FILE 'CASREACT' ENTERED AT 12:08:50 ON 01 FEB 2008 10919 SEA ABB=ON L4

L5

L6 STR

21 SEA SUB=L5 SSS SAM L6 (86 REACTIONS)

FILE 'STNGUIDE' ENTERED AT 12:13:44 ON 01 FEB 2008

FILE 'CASREACT' ENTERED AT 12:18:01 ON 01 FEB 2008

FILE 'STNGUIDE' ENTERED AT 12:25:43 ON 01 FEB 2008

FILE 'CASREACT' ENTERED AT 12:29:29 ON 01 FEB 2008

L8 STR L6

L9 50 SEA SUB=L5 SSS SAM L8 (408 REACTIONS) T-10 4853 SEA SUB-L5 SSS FUL L8 (71375 REACTIONS) EXTEND

1257 SEA SUB=L5 SSS FUL L8 (11881 REACTIONS) L11

L12 1118 SEA ABB=ON L11/COMPLETE

SAVE TEMP L12 JAI920CSRFL/A

1.13 902 SEA ABB=ON L12 AND (PY<2004 OR AY<2004 OR PRY<2004) FILE 'STNGUIDE' ENTERED AT 12:32:35 ON 01 FEB 2008

```
FILE 'CASREACT' ENTERED AT 12:58:34 ON 01 FEB 2008
L14
              STR L6
L15
             0 SEA SUB=L11 SSS SAM L14 ( 0 REACTIONS)
L16
               STR L14
L17
             1 SEA SUB=L11 SSS SAM L16 (
                                           1 REACTIONS)
              D SCAN
L18
          870 SEA SUB=L11 SSS FUL L16 ( 7481 REACTIONS) EXTEND
L19
            22 SEA SUB=L11 SSS FUL L16 ( 56 REACTIONS)
               SAVE TEMP L19 JAI920SUB1/A
T.20
            16 SEA ABB=ON L13 AND L19
               ACT JAI920CSRAU/A
L21 (
           29) SEA ABB=ON SHCHERBAKOVA I?/AU
L22 (
            0) SEA ABB=ON BALANDRIA M?/AU
          108) SEA ABB=ON HUANG G?/AU
1.23 (
            5) SEA ABB=ON GEOFFROY O?/AU
L24 (
L25 (
          117) SEA ABB=ON FOX J?/AU
           51) SEA ABB=ON NAIR S?/AU
L26 (
L27 (
             7) SEA ABB=ON BALANDRIN M?/AU
L28
             4 SEA ABB=ON (L21 AND (L22 OR L23 OR L24 OR L25 OR L26 OR L27))
              OR (L23 AND (L24 OR L25 OR L26 OR L27)) OR (L24 AND (L25 OR
              L26 OR L27)) OR (L25 AND (L26 OR L27)) OR (L26 AND L27)
L29
             4 SEA ABB=ON L28 OR (L28 AND L11)
    FILE 'REGISTRY' ENTERED AT 14:09:44 ON 01 FEB 2008
L30
              STR
            12 SEA SUB=L2 SSS SAM L30
L31
    FILE 'ZCAPLUS' ENTERED AT 14:14:18 ON 01 FEB 2008
L32
            2 SEA ABB=ON L31
               D SCA TI
    FILE 'REGISTRY' ENTERED AT 14:16:04 ON 01 FEB 2008
L33
              STR
L34
             2 SEA SUB=L2 SSS SAM L33
               D SCAN
L35
         21805 SEA SUB=L2 SSS FUL L33 EXTEND
L36
            82 SEA SUB=L2 SSS FUL L33
               SAVE TEMP L36 JAI920SUB2/A
    FILE 'CAPLUS' ENTERED AT 14:29:07 ON 01 FEB 2008
             5 SEA ABB=ON L36/P
               D SCAN TI
              ACT JAI920CAAU/A
L38
             1 SEA ABB=ON US2006-551920/AP
L39
             1 SEA ABB=ON L38 AND L37
               D SCAN
    FILE 'CASREACT' ENTERED AT 14:31:11 ON 01 FEB 2008
    FILE 'CAPLUS' ENTERED AT 14:33:03 ON 01 FEB 2008
        12524 SEA ABB=ON L3
L40
L41
            1 SEA ABB=ON L40 AND L38
```

D OUE NOS L41

FILE 'CASREACT' ENTERED AT 14:34:13 ON 01 FEB 2008 D QUE NOS L29

FILE 'CAPLUS, CASREACT' ENTERED AT 14:34:22 ON 01 FEB 2008 L42 4 DUP REM L41 L29 (1 DUPLICATE REMOVED)

ANSWER '1' FROM FILE CAPLUS ANSWERS '2-4' FROM FILE CASREACT

D IBIB ABS HITSTR 1 D IBIB ABS IND 3-4

FILE 'CASREACT' ENTERED AT 14:36:57 ON 01 FEB 2008

FILE 'CASREACT, CAPLUS' ENTERED AT 14:37:06 ON 01 FEB 2008 D IBIB ABS IND 3-4

FILE 'CASREACT' ENTERED AT 14:37:07 ON 01 FEB 2008

FILE 'CASREACT' ENTERED AT 14:37:44 ON 01 FEB 2008

D STAT QUE L20 L43 14 SEA ABB=ON L20 NOT L29

FILE 'REGISTRY' ENTERED AT 14:37:45 ON 01 FEB 2008

D STAT OUE L36

FILE 'CAPLUS' ENTERED AT 14:37:45 ON 01 FEB 2008 D QUE NOS L37

L44 4 SEA ABB=ON L37 NOT L41

FILE 'CASREACT, CAPLUS' ENTERED AT 14:38:00 ON 01 FEB 2008

L45 18 DUP REM L43 L44 (0 DUPLICATES REMOVED)
ANSWERS '1-14' FROM FILE CASREACT
ANSWERS '15-18' FROM FILE CAPLUS

D IBIB ABS FHIT 1-14

D IBIB ABS HITSTR 15-18

FILE 'HOME' ENTERED AT 14:38:47 ON 01 FEB 2008

D STAT QUE L36

D STAT QUE L20

=>